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**Rheinheimer et al.**

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(54) **FUNGICIDAL AGENTS CONTAINING  
PYRROLIDONES AS THEIR ACTIVE  
AGENTS AND USE THEREOF FOR  
TREATING PLANTS**

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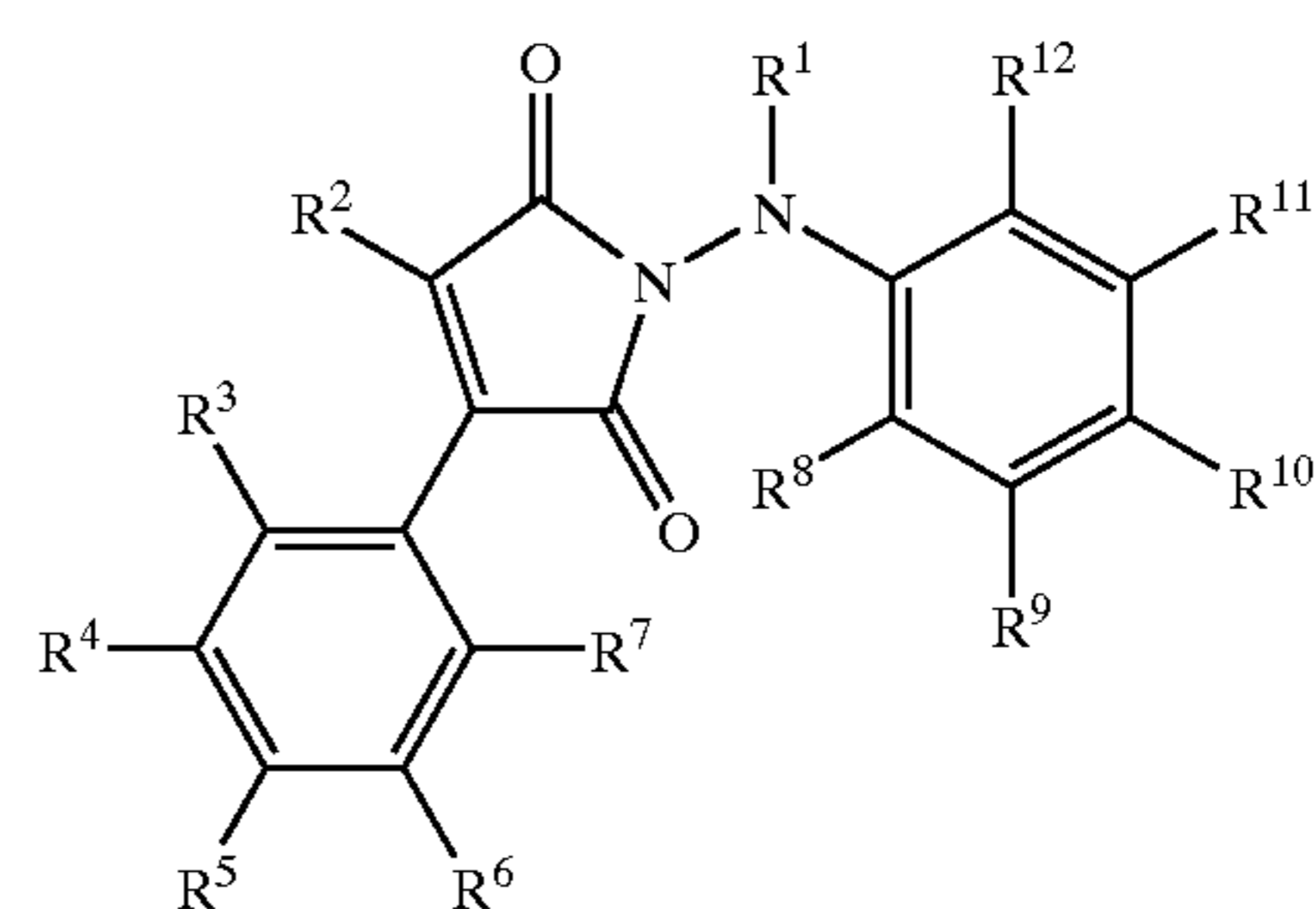
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(57) **ABSTRACT**

Agrochemical compositions having fungicidal action and comprising as active compounds compounds of the formula I



where

$R^1$  is hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkylcarbonyl, formyl or  $C_1$ - $C_6$ -haloalkylcarbonyl;

$R^2$  is halogen,  $C_1$ - $C_6$ -alkylthio,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_6$ -cycloalkyl- $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkoxy- $C_1$ - $C_6$ -alkyl, halo- $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkylsulfonyl,  $C_1$ - $C_6$ -alkylsulfinyl, halo- $C_1$ - $C_6$ -alkylsulfonyl, cyano or a radical  $NR^{13}R^{14}$ ;

$R^3$ - $R^{12}$  are hydrogen, halogen,  $C_1$ - $C_8$ -cycloalkyl,  $C_1$ - $C_6$ -alkyl, halo- $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy, halo- $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkylsulfonyl, halo- $C_1$ - $C_6$ -alkylsulfonyl, formyl,  $C_1$ - $C_6$ -alkylcarbonyl, cyano,  $C_1$ - $C_6$ -alkylthio or phenyl, which may be unsubstituted or substituted by halogen,  $C_1$ - $C_6$ -alkyl or halo- $C_1$ - $C_6$ -alkyl,

$R^{13}$  is hydrogen,  $C_1$ - $C_6$ -alkyl,

$R^{14}$  is  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_8$ -cycloalkyl or, together with  $R^{13}$  and the nitrogen atom to which they are attached, a saturated or unsaturated heterocyclic five- or six-membered ring which contains one or two heteroatoms selected from the group consisting of nitrogen and oxygen,

and their agriculturally useful salts are described.

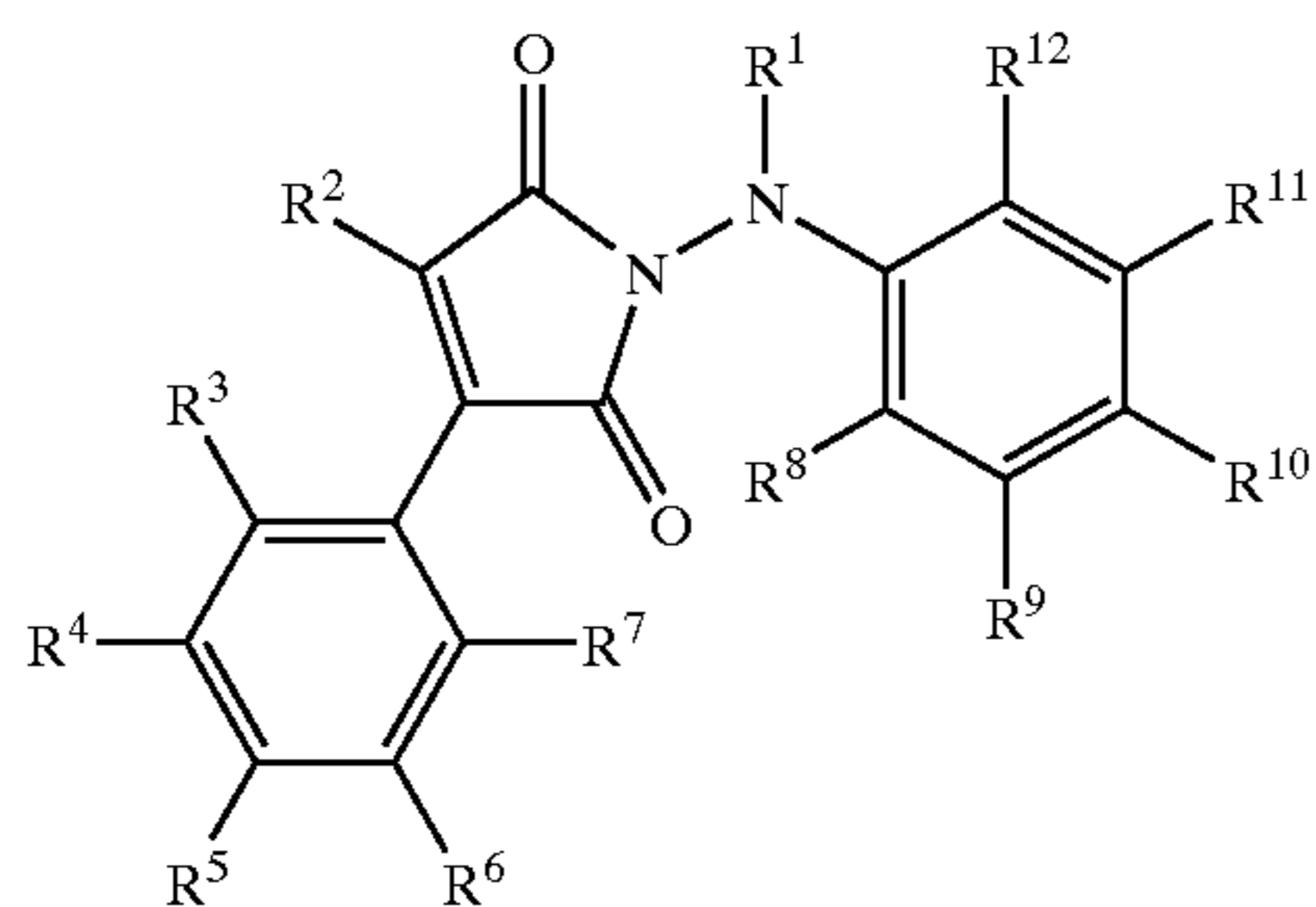
**16 Claims, No Drawings**

**FUNGICIDAL AGENTS CONTAINING  
PYRROLIDONES AS THEIR ACTIVE  
AGENTS AND USE THEREOF FOR  
TREATING PLANTS**

This application is a 371 of PCT/EP01/02059 Feb. 23, 2001.

The present invention relates to novel agrochemical compositions having fungicidal action and comprising pyrrolidones as active compounds, and to their use in the treatment of plants and in agriculture.

The present invention provides compositions comprising as active compounds compounds of the formula I



where:

$R^1$  is hydrogen,  $C_1-C_6$ -alkyl,  $C_1-C_6$ -alkylcarbonyl, formyl or  $C_1-C_6$ -haloalkylcarbonyl;

$R^2$  is halogen,  $C_1-C_6$ -alkylthio,  $C_1-C_6$ -alkoxy,  $C_3-C_6$ -cycloalkyl- $C_1-C_6$ -alkoxy,  $C_1-C_6$ -alkoxy- $C_1-C_6$ -alkyl, halo- $C_1-C_6$ -alkoxy,  $C_1-C_6$ -alkylsulfonyl,  $C_1-C_6$ -alkylsulfinyl, halo- $C_1-C_6$ -alkylsulfonyl, cyano or a radical  $NR^{13}R^{14}$ ;

$R^3-R^{12}$  are hydrogen, halogen,  $C_1-C_8$ -cycloalkyl,  $C_1-C_6$ -alkyl, halo- $C_1-C_6$ -alkyl,  $C_1-C_6$ -alkoxy, halo- $C_1-C_6$ -alkoxy,  $C_1-C_6$ -alkylsulfonyl, halo- $C_1-C_6$ -alkylsulfonyl, formyl,  $C_1-C_6$ -alkylcarbonyl, cyano,  $C_1-C_6$ -alkylthio or phenyl, which may be unsubstituted or substituted by halogen,  $C_1-C_6$ -alkyl or halo- $C_1-C_6$ -alkyl,

$R^{13}$  is hydrogen,  $C_1-C_6$ -alkyl,

$R^{14}$  is  $C_1-C_6$ -alkyl,  $C_1-C_8$ -cycloalkyl or, together with  $R^{13}$  and the nitrogen atom to which they are attached, a saturated or unsaturated heterocyclic five- or six-membered ring which contains one or two heteroatoms selected from the group consisting of nitrogen and oxygen,

and their agriculturally useful salts.

Some of the compounds of the formula I are known from the literature. Thus, for example, Z. Chem. 13, (1973), 214-216 (M. Augustin and P. Reinemann) describes phenyl substituted pyrrolidones. A fungicidal action of these compounds has hitherto not been described.

Surprisingly, it has been found that compounds of the formula I have a remarkable fungicidal action. They are suitable for controlling harmful fungi in the treatment of plants, and also for the therapeutic treatment of human disorders caused by harmful fungi, and for the veterinary treatment of mammals.

Compounds of the formula I can be prepared similarly to the process described in the literature (Z. Chem. 13, (1973), 214-216). The starting materials are either known from the literature or commercially available.

In the definition of the substituents  $R^1$  to  $R^{12}$ , the given terms are collective terms for a group of compounds. The

alkyl radicals mentioned in each case each denote straight-chain or branched alkyl radicals having up to six carbon atoms.

Halogen is in each case fluorine, bromine, chlorine or iodine, in particular fluorine or chlorine.

Examples of Other Meanings are:

$C_1-C_6$ -alkyl: a straight-chain or branched alkyl group, such as, for example, methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl, in particular ethyl;

$C_1-C_6$ -haloalkyl: a  $C_1-C_6$ -alkyl radical as mentioned above which is partially or fully substituted, in particular mono-, di- or trisubstituted, by fluorine, chlorine, bromine and/or iodine, for example trichloromethyl, trifluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 2-fluoropropyl, 3-fluoropropyl, 2-chloropropyl or 3-chloropropyl, in particular 2-fluoroethyl or 2-chloroethyl;

$C_1-C_6$ -alkoxy: a straight-chain or branched alkoxy radical having up to six carbon atoms, such as, for example, methoxy, ethoxy, n-propoxy, 1-methylethoxy, n-butoxy, 1-methylpropoxy, 2-methylpropoxy or 1,1-dimethylethoxy, in particular methoxy or ethoxy;

$C_1-C_6$ -alkoxy- $C_1-C_6$ -alkyl: an alkyl radical as mentioned above which is substituted by  $C_1-C_6$ -alkoxy, as mentioned above, such as, for example, methoxymethyl, ethoxymethyl, n-propoxymethyl, 1-methylethoxymethyl or n-butoxymethyl;

$C_3-C_8$ -cycloalkyl: a saturated cycloalkyl group, such as, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl;

$C_3-C_8$ -cycloalkyl- $C_1-C_6$ -alkoxy: an alkoxy group as mentioned above which is substituted by  $C_3-C_8$ -cycloalkyl as mentioned above, such as, for example, cyclopropylmethoxy, cyclobutylmethoxy, cyclopentylmethoxy, cyclohexylmethoxy, cycloheptylmethoxy, cyclooctylmethoxy, cyclopropylethoxy, cyclobutylethoxy, cyclopentylethoxy, cyclohexylethoxy, cycloheptylethoxy, cyclooctylethoxy;

halo- $C_1-C_6$ -alkoxy: a  $C_1-C_6$ -alkoxy radical as mentioned above which is mono-, di- or trisubstituted by fluorine, chlorine or bromine, such as, for example, chloromethoxy, fluoromethoxy, difluoromethoxy, difluoroethoxy, dichloromethoxy, dichloroethoxy;

$C_1-C_6$ -alkyl-carbonyl: a carbonyl group which is substituted by a  $C_1-C_6$ -alkyl radical as above, such as, for example, acetyl, propionyl, butyryl;

halogen- $C_1-C_6$ -alkyl-carbonyl: a  $C_1-C_6$ -alkyl-carbonyl radical as above which is substituted by fluorine, chlorine or bromine;

$C_1-C_6$ -alkylsulfonyl: a sulfonyl group which is substituted by a  $C_1-C_6$ -alkyl radical as mentioned above,

$C_1-C_6$ -alkylsulfinyl: a sulfinyl group which is substituted by a  $C_1-C_6$ -alkyl radical as mentioned above;

halo- $C_1-C_6$ -alkylsulfonyl: a  $C_1-C_6$ -alkylsulfonyl radical as mentioned above which is substituted by fluorine, chlorine or bromine;

$C_1-C_6$ -alkylthio: a sulfur atom which is substituted by a  $C_1-C_6$ -alkyl radical as mentioned above;

an unsubstituted or substituted phenyl radical: a phenyl radical which is unsubstituted or mono- or polysubstituted. Any substituents are possible, for example the

following: halogen atoms, C<sub>1</sub>-C<sub>6</sub>-alkyl or halo-C<sub>1</sub>-C<sub>6</sub>-alkyl. The phenyl radical is preferably mono-, di- or trisubstituted.

If R<sup>13</sup> and R<sup>14</sup> together with the nitrogen to which they are attached form a chain of 4-5 carbon atoms, they are saturated or partially unsaturated heterocyclic 5- or 6-membered rings containing one or two heteroatoms (oxygen or nitrogen atoms), such as, for example, pyrrole, oxazole, isoxazole, morpholino or piperidino.

For the purpose of the present invention, preferably with respect to the definitions of substituents mentioned, the following compounds are possible, in each case on their own or in combination with one another:

1. Compounds of the formula I in which R<sup>1</sup> has the following meanings: hydrogen; C<sub>1</sub>-C<sub>3</sub>-alkyl (such as, for example, methyl, ethyl); C<sub>1</sub>-C<sub>3</sub>-alkylcarbonyl (for example acetyl); formyl; in particular hydrogen, formyl, acetyl or methyl.
2. Compounds according to item 1, where R<sup>2</sup> has the following meanings: chlorine, bromine, C<sub>1</sub>-C<sub>3</sub>-alkylthio (for example methylthio); C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl (for example methylsulfonyl); C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl (for example methylsulfinyl); C<sub>1</sub>-C<sub>3</sub>-haloalkoxy (for example difluoromethoxy); in particular chlorine and bromine.
3. Compounds according to items 1 or 2, where R<sup>3</sup>-R<sup>12</sup> have the following meanings: hydrogen; fluorine; chlorine;

C<sub>1</sub>-C<sub>4</sub>-alkyl (for example methyl, ethyl, propyl, butyl); halo-C<sub>1</sub>-C<sub>3</sub>-alkyl (for example trifluoromethyl, difluoromethyl); halo-C<sub>1</sub>-C<sub>3</sub>-alkoxy (for example trifluoromethoxy, difluoromethoxy); C<sub>1</sub>-C<sub>3</sub>-alkoxy (for example methoxy); C<sub>1</sub>-C<sub>3</sub>-alkylthio (for example methylthio); cyano.

4. Compounds according to items 1 to 3 where at least two of the radicals R<sup>8</sup>-R<sup>12</sup> and furthermore at least two of the radicals R<sup>3</sup>-R<sup>7</sup> are hydrogen and the others are hydrogen, fluorine, chlorine; C<sub>1</sub>-C<sub>4</sub>-alkyl (for example methyl, ethyl, propyl, butyl); halo-C<sub>1</sub>-C<sub>3</sub>-alkyl (for example trifluoromethyl); halo-C<sub>1</sub>-C<sub>3</sub>-alkoxy (for example trifluoromethoxy, difluoromethoxy).

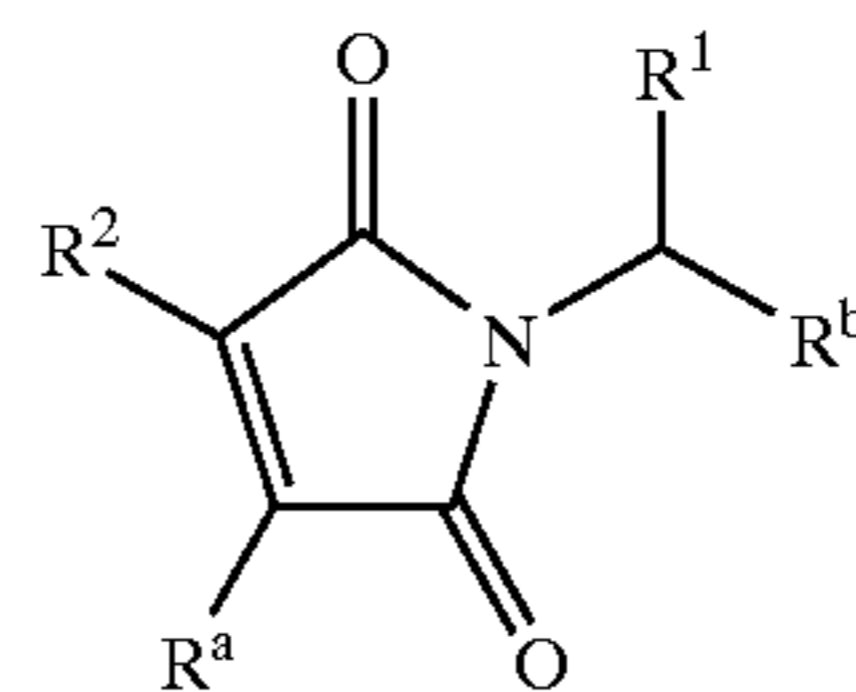
The two phenyl rings are preferably unsubstituted (R<sup>3</sup>-R<sup>12</sup>=H) or preferably mono-, di- or trisubstituted, where preference is given to the following substituents: C<sub>1</sub>-C<sub>6</sub>-alkyl, halogen, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy. In this context, particular preference is given to the following substituents: methyl, isopropyl, fluorine, chlorine, trifluoromethyl or trifluoromethoxy.

The compounds mentioned above have usually been found to be particularly effective.

For the purpose of the present invention, suitable fungicidally active compounds are, for example, the following compounds in Table 1.

TABLE 1

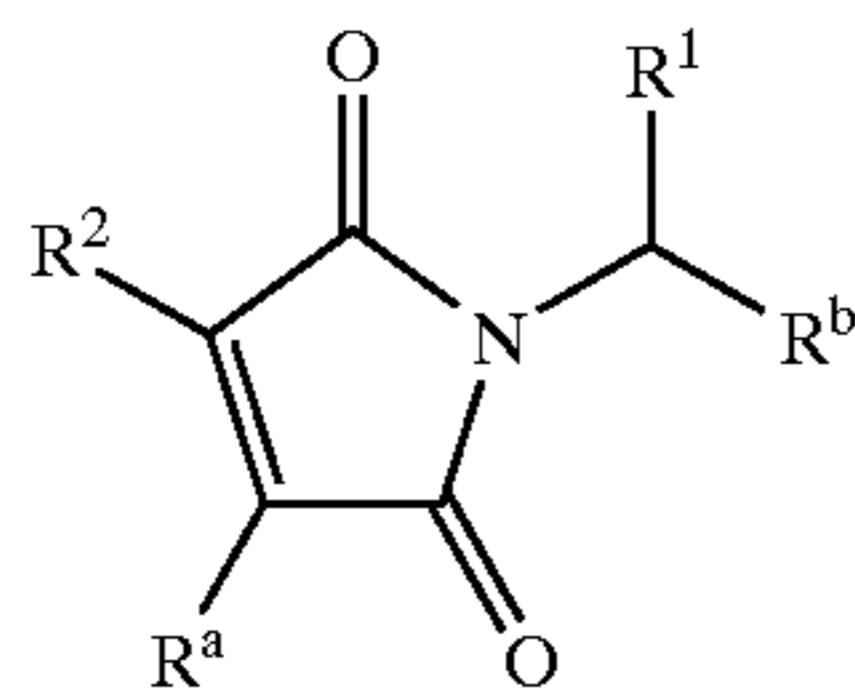
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No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
1)	H	Cl	phenyl	phenyl	m.p. 143-145° C.
2)	H	Cl	phenyl	4-methylphenyl	
3)	H	Cl	phenyl	2,4-dichlorophenyl	
4)	H	Cl	4-methylphenyl	phenyl	
5)	H	Cl	4-methylphenyl	4-chlorophenyl	
6)	H	Cl	4-methoxyphenyl	phenyl	m.p. 148-149° C.
7)	H	Cl	4-methoxyphenyl	4-methoxyphenyl	
8)	H	Cl	4-methoxyphenyl	2-methoxyphenyl	
9)	H	Cl	4-methoxyphenyl	4-chlorophenyl	
10)	H	Cl	4-methoxyphenyl	2,4-dichlorophenyl	
11)	H	Cl	3-chlorophenyl	phenyl	m.p. 130-132° C.
12)	H	Cl	3,4-dichlorophenyl	phenyl	
13)	H	Cl	4-chlorophenyl	phenyl	
14)	H	Cl	4-chlorophenyl	4-chlorophenyl	
15)	H	Cl	4-chlorophenyl	3,4-dichlorophenyl	
16)	H	Cl	4-chlorophenyl	2,4-dichlorophenyl	
17)	H	Cl	4-chlorophenyl	4-fluorophenyl	
18)	H	Cl	4-chlorophenyl	4-methylphenyl	
19)	H	Cl	4-bromophenyl	4-methoxyphenyl	
20)	H	Cl	4-bromophenyl	4-methylphenyl	
21)	methyl	Cl	4-methylphenyl	phenyl	
22)	methyl	Cl	4-methoxyphenyl	phenyl	
23)	methyl	Cl	4-chlorophenyl	phenyl	m.p. 165-166° C.
24)	acetyl	Cl	phenyl	phenyl	
25)	trifluoro-acetyl	Cl	phenyl	phenyl	
26)	H	Cl	phenyl	4-isopropylphenyl	
27)	H	Cl	phenyl	4-fluorophenyl	m.p. 127-128° C.
28)	H	Cl	phenyl	3-fluorophenyl	
29)	H	Cl	phenyl	2-fluorophenyl	
30)	H	Cl	phenyl	2,3,5,6-tetra-fluorophenyl	
31)	H	Cl	phenyl	4-trifluoromethylphenyl	
32)	H	Cl	phenyl	3-trifluoromethylphenyl	

TABLE 1-continued

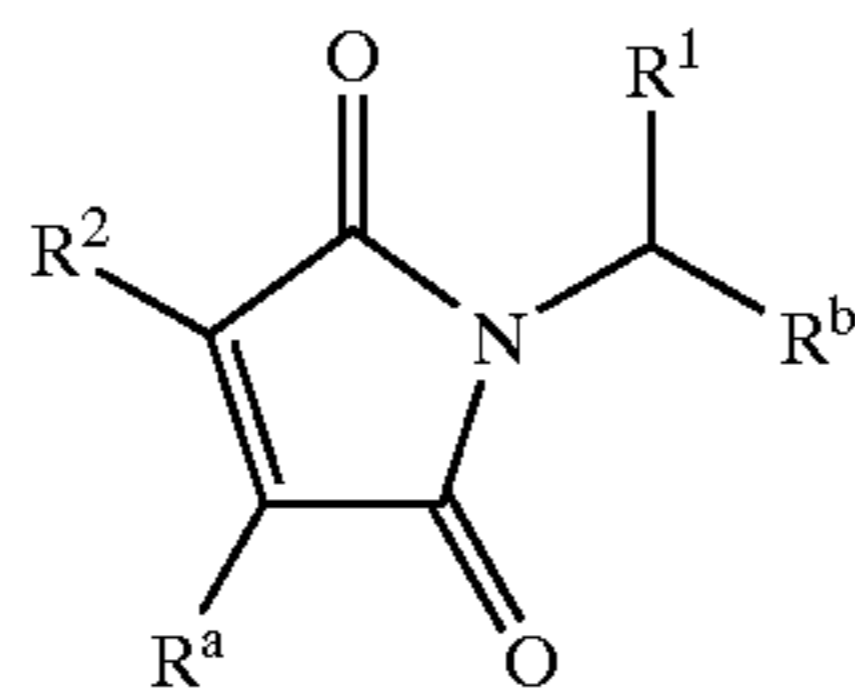
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No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
33)	H	Cl	phenyl	4-methylsulfonylphenyl	
34)	H	Cl	phenyl	4-chlorophenyl	
35)	H	Cl	phenyl	3-chlorophenyl	
36)	H	Cl	phenyl	2-chlorophenyl	
37)	H	Cl	phenyl	3,5-dichlorophenyl	
38)	H	Cl	phenyl	4-(trifluoromethoxy)phenyl	
39)	H	Cl	phenyl	3-(trifluoromethoxy)phenyl	
40)	H	Cl	phenyl	4-(difluoromethoxy)phenyl	
41)	H	Cl	phenyl	3-(difluoromethoxy)phenyl	
42)	H	Cl	phenyl	4-cyanophenyl	
43)	H	Cl	4-chlorophenyl	4-trifluoromethylphenyl	
44)	H	Cl	4-chlorophenyl	3-trifluoromethylphenyl	
45)	H	Cl	4-chlorophenyl	2-chlorophenyl	
46)	H	Cl	4-chlorophenyl	3-chlorophenyl	
47)	H	Cl	4-chlorophenyl	4-trifluoromethoxyphenyl	
48)	H	Cl	4-chlorophenyl	3-trifluoromethoxyphenyl	
49)	H	Cl	4-chlorophenyl	4-difluoromethoxyphenyl	
50)	H	Cl	4-chlorophenyl	3-difluoromethoxyphenyl	
51)	H	Cl	4-fluorophenyl	phenyl	
52)	H	Cl	4-fluorophenyl	4-ethylphenyl	
53)	H	Cl	4-fluorophenyl	4-methylphenyl	
54)	H	Cl	4-fluorophenyl	2-methylphenyl	
55)	H	Cl	4-fluorophenyl	3-methylphenyl	
56)	H	Cl	4-fluorophenyl	4-fluorophenyl	
57)	H	Cl	4-fluorophenyl	2,4-difluorophenyl	
58)	H	Cl	4-fluorophenyl	4-chlorophenyl	
59)	H	Cl	4-fluorophenyl	3-chlorophenyl	
60)	H	Cl	4-fluorophenyl	2-chlorophenyl	
61)	H	Cl	4-fluorophenyl	4-chloro-2-methoxyphenyl	
62)	H	Cl	4-fluorophenyl	4-trifluoromethylphenyl	
63)	H	Cl	4-fluorophenyl	2-trifluoromethylphenyl	
64)	H	Cl	4-fluorophenyl	3-trifluoromethylphenyl	
65)	H	Cl	4-fluorophenyl	4-(trifluoromethoxy)phenyl	
66)	H	Cl	4-fluorophenyl	3-(trifluoromethoxy)phenyl	
67)	H	Cl	4-fluorophenyl	4-(difluoromethoxy)phenyl	
68)	H	Cl	4-fluorophenyl	3-(difluoromethoxy)phenyl	
69)	H	Cl	4-fluorophenyl	4-cyanophenyl	
70)	H	Cl	3-fluorophenyl	phenyl	
71)	H	Cl	3-fluorophenyl	4-ethylphenyl	
72)	H	Cl	3-fluorophenyl	4-methylphenyl	
73)	H	Cl	3-fluorophenyl	2-methylphenyl	
74)	H	Cl	3-fluorophenyl	3-methylphenyl	
75)	H	Cl	3-fluorophenyl	4-fluorophenyl	
76)	H	Cl	3-fluorophenyl	2,4-difluorophenyl	
77)	H	Cl	3-fluorophenyl	4-chlorophenyl	
78)	H	Cl	3-fluorophenyl	3-chlorophenyl	
79)	H	Cl	3-fluorophenyl	2-chlorophenyl	
80)	H	Cl	3-fluorophenyl	4-chloro-2-methoxyphenyl	
81)	H	Cl	3-fluorophenyl	4-trifluoromethylphenyl	
82)	H	Cl	3-fluorophenyl	2-trifluoromethylphenyl	
83)	H	Cl	3-fluorophenyl	3-trifluoromethylphenyl	
84)	H	Cl	3-fluorophenyl	4-(trifluoromethoxy)phenyl	
85)	H	Cl	3-fluorophenyl	3-(trifluoromethoxy)phenyl	
86)	H	Cl	3-fluorophenyl	4-(difluoromethoxy)phenyl	
87)	H	Cl	3-fluorophenyl	3-(difluoromethoxy)phenyl	
88)	H	Cl	3-fluorophenyl	4-cyanophenyl	
89)	H	Cl	2-fluorophenyl	phenyl	
90)	H	Cl	2-fluorophenyl	4-ethylphenyl	
91)	H	Cl	2-fluorophenyl	4-methylphenyl	
92)	H	Cl	2-fluorophenyl	2-methylphenyl	
93)	H	Cl	2-fluorophenyl	3-methylphenyl	
94)	H	Cl	2-fluorophenyl	4-fluorophenyl	
95)	H	Cl	2-fluorophenyl	2,4-difluorophenyl	
96)	H	Cl	2-fluorophenyl	4-chlorophenyl	
97)	H	Cl	2-fluorophenyl	3-chlorophenyl	
98)	H	Cl	2-fluorophenyl	2-chlorophenyl	
99)	H	Cl	2-fluorophenyl	4-chloro-2-methoxyphenyl	

TABLE 1-continued

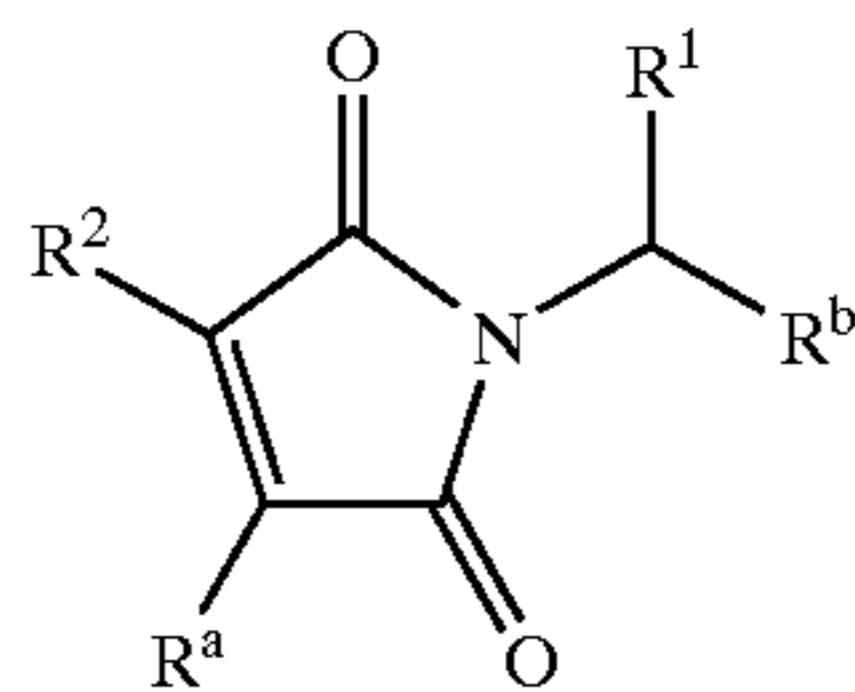
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No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
100)	H	Cl	2-fluorophenyl	4-trifluoromethylphenyl	
101)	H	Cl	2-fluorophenyl	2-trifluoromethylphenyl	
102)	H	Cl	2-fluorophenyl	3-trifluoromethylphenyl	
103)	H	Cl	2-fluorophenyl	4-(trifluoromethoxy)phenyl	
104)	H	Cl	2-fluorophenyl	3-(trifluoromethoxy)phenyl	
105)	H	Cl	2-fluorophenyl	4-(difluoromethoxy)phenyl	
106)	H	Cl	2-fluorophenyl	3-(difluoromethoxy)phenyl	
107)	H	Cl	2-fluorophenyl	4-cyanophenyl	
108)	H	Cl	2,4-difluorophenyl	phenyl	
109)	H	Cl	2,4-difluorophenyl	4-ethylphenyl	
110)	H	Cl	2,4-difluorophenyl	4-methylphenyl	
111)	H	Cl	2,4-difluorophenyl	2-methylphenyl	
112)	H	Cl	2,4-difluorophenyl	3-methylphenyl	
113)	H	Cl	2,4-difluorophenyl	4-fluorophenyl	
114)	H	Cl	2,4-difluorophenyl	2,4-difluorophenyl	
115)	H	Cl	2,4-difluorophenyl	4-chlorophenyl	
116)	H	Cl	2,4-difluorophenyl	3-chlorophenyl	
117)	H	Cl	2,4-difluorophenyl	2-chlorophenyl	
118)	H	Cl	2,4-difluorophenyl	4-chloro-2-methoxyphenyl	
119)	H	Cl	2,4-difluorophenyl	4-trifluoromethylphenyl	
120)	H	Cl	2,4-difluorophenyl	2-trifluoromethylphenyl	
121)	H	Cl	2,4-difluorophenyl	3-trifluoromethylphenyl	
122)	H	Cl	2,4-difluorophenyl	4-(trifluoromethoxy)phenyl	
123)	H	Cl	2,4-difluorophenyl	3-(trifluoromethoxy)phenyl	
124)	H	Cl	2,4-difluorophenyl	4-(difluoromethoxy)phenyl	
125)	H	Cl	2,4-difluorophenyl	3-(difluoromethoxy)phenyl	
126)	H	Cl	2,4-difluorophenyl	4-cyanophenyl	
127)	H	Cl	4-trifluoromethylphenyl	phenyl	m.p. 169–170° C.
128)	H	Cl	4-trifluoromethylphenyl	4-ethylphenyl	
129)	H	Cl	4-trifluoromethylphenyl	4-methylphenyl	
130)	H	Cl	4-trifluoromethylphenyl	2-methylphenyl	
131)	H	Cl	4-trifluoromethylphenyl	3-methylphenyl	
132)	H	Cl	4-trifluoromethylphenyl	4-fluorophenyl	
133)	H	Cl	4-trifluoromethylphenyl	2,4-difluorophenyl	
134)	H	Cl	4-trifluoromethylphenyl	4-chlorophenyl	
135)	H	Cl	4-trifluoromethylphenyl	3-chlorophenyl	
136)	H	Cl	4-trifluoromethylphenyl	2-chlorophenyl	
137)	H	Cl	4-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
138)	H	Cl	4-trifluoromethylphenyl	4-trifluoromethylphenyl	
139)	H	Cl	4-trifluoromethylphenyl	2-trifluoromethylphenyl	
140)	H	Cl	4-trifluoromethylphenyl	3-trifluoromethylphenyl	
141)	H	Cl	4-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
142)	H	Cl	4-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
143)	H	Cl	4-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
144)	H	Cl	4-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
145)	H	Cl	4-trifluoromethylphenyl	4-cyanophenyl	
146)	H	Cl	3,4-dichlorophenyl	4-fluorophenyl	
147)	H	Cl	3,4-(methylenedioxy)phenyl	phenyl	
148)	H	Cl	3-trifluoromethylphenyl	phenyl	
149)	H	Cl	3-trifluoromethylphenyl	4-ethylphenyl	
150)	H	Cl	3-trifluoromethylphenyl	4-methylphenyl	
151)	H	Cl	3-trifluoromethylphenyl	2-methylphenyl	
152)	H	Cl	3-trifluoromethylphenyl	3-methylphenyl	
153)	H	Cl	3-trifluoromethylphenyl	4-fluorophenyl	
154)	H	Cl	3-trifluoromethylphenyl	2,4-difluorophenyl	
155)	H	Cl	3-trifluoromethylphenyl	4-chlorophenyl	
156)	H	Cl	3-trifluoromethylphenyl	3-chlorophenyl	
157)	H	Cl	3-trifluoromethylphenyl	2-chlorophenyl	
158)	H	Cl	3-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
159)	H	Cl	3-trifluoromethylphenyl	4-trifluoromethylphenyl	
160)	H	Cl	3-trifluoromethylphenyl	2-trifluoromethylphenyl	
161)	H	Cl	3-trifluoromethylphenyl	3-trifluoromethylphenyl	
162)	H	Cl	3-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
163)	H	Cl	3-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
164)	H	Cl	3-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
165)	H	Cl	3-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
166)	H	Cl	3-trifluoromethylphenyl	4-cyanophenyl	

TABLE 1-continued

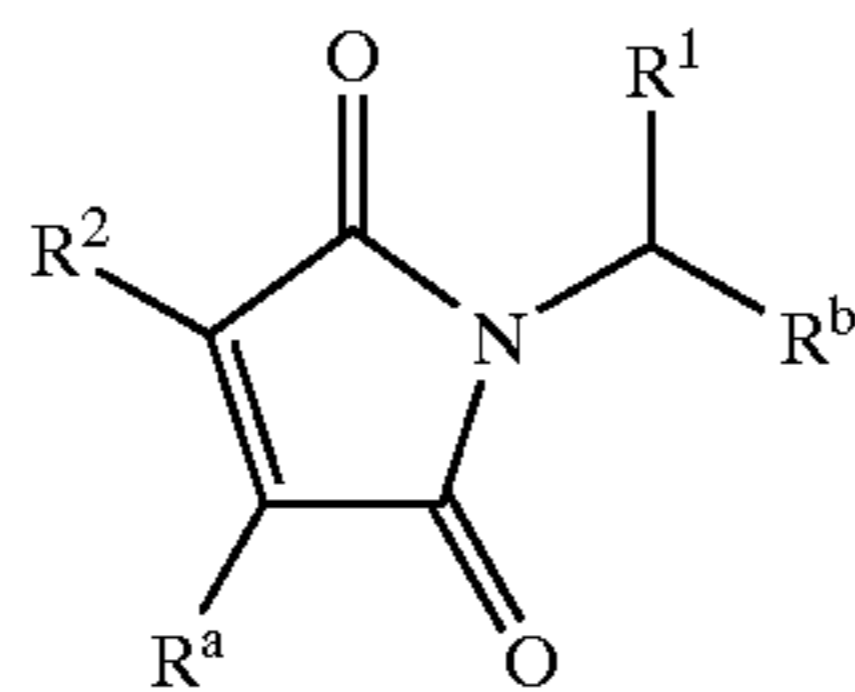
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
167)	methyl	Cl	phenyl	phenyl	
168)	methyl	Cl	phenyl	4-methylphenyl	
169)	methyl	Cl	phenyl	2,4-dichlorophenyl	
170)	methyl	Cl	4-methylphenyl	4-chlorophenyl	
171)	methyl	Cl	4-methoxyphenyl	4-methoxyphenyl	
172)	methyl	Cl	4-methoxyphenyl	2-methoxyphenyl	
173)	methyl	Cl	4-methoxyphenyl	4-chlorophenyl	
174)	methyl	Cl	4-methoxyphenyl	2,4-dichlorophenyl	
175)	methyl	Cl	3-chlorophenyl	phenyl	
176)	methyl	Cl	3,4-dichlorophenyl	phenyl	
177)	methyl	Cl	4-chlorophenyl	4-chlorophenyl	
178)	methyl	Cl	4-chlorophenyl	3,4-dichlorophenyl	
179)	methyl	Cl	4-chlorophenyl	2,4-dichlorophenyl	
180)	methyl	Cl	4-chlorophenyl	4-fluorophenyl	
181)	methyl	Cl	4-chlorophenyl	4-methylphenyl	
182)	methyl	Cl	4-bromophenyl	4-methoxyphenyl	
183)	methyl	Cl	4-bromophenyl	4-methylphenyl	
184)	methyl	Cl	phenyl	4-isopropylphenyl	
185)	methyl	Cl	phenyl	4-fluorophenyl	
186)	methyl	Cl	phenyl	3-fluorophenyl	
187)	methyl	Cl	phenyl	2-fluorophenyl	
188)	methyl	Cl	phenyl	2,3,5,6-tetra-fluorophenyl	
189)	methyl	Cl	phenyl	4-trifluoromethylphenyl	
190)	methyl	Cl	phenyl	3-trifluoromethylphenyl	
191)	methyl	Cl	phenyl	4-methylsulfonylphenyl	
192)	methyl	Cl	phenyl	4-chlorophenyl	
193)	methyl	Cl	phenyl	3-chlorophenyl	
194)	methyl	Cl	phenyl	2-chlorophenyl	
195)	methyl	Cl	phenyl	3,5-dichlorophenyl	
196)	methyl	Cl	phenyl	4-(trifluoromethoxy)phenyl	
197)	methyl	Cl	phenyl	3-(trifluoromethoxy)phenyl	
198)	methyl	Cl	phenyl	4-(difluoromethoxy)phenyl	
199)	methyl	Cl	phenyl	3-(difluoromethoxy)phenyl	
200)	methyl	Cl	phenyl	4-cyanophenyl	
201)	methyl	Cl	4-chlorophenyl	4-trifluoromethylphenyl	
202)	methyl	Cl	4-chlorophenyl	3-trifluoromethylphenyl	
203)	methyl	Cl	4-chlorophenyl	2-chlorophenyl	
204)	methyl	Cl	4-chlorophenyl	3-chlorophenyl	
205)	methyl	Cl	4-chlorophenyl	4-trifluoromethoxyphenyl	
206)	methyl	Cl	4-chlorophenyl	3-trifluoromethoxyphenyl	
207)	methyl	Cl	4-chlorophenyl	4-difluoromethoxyphenyl	
208)	methyl	Cl	4-chlorophenyl	3-difluoromethoxyphenyl	
209)	methyl	Cl	4-fluorophenyl	phenyl	
210)	methyl	Cl	4-fluorophenyl	4-ethylphenyl	
211)	methyl	Cl	4-fluorophenyl	4-methylphenyl	
212)	methyl	Cl	4-fluorophenyl	2-methylphenyl	
213)	methyl	Cl	4-fluorophenyl	3-methylphenyl	
214)	methyl	Cl	4-fluorophenyl	4-fluorophenyl	
215)	methyl	Cl	4-fluorophenyl	2,4-difluorophenyl	
216)	methyl	Cl	4-fluorophenyl	4-chlorophenyl	
217)	methyl	Cl	4-fluorophenyl	3-chlorophenyl	
218)	methyl	Cl	4-fluorophenyl	2-chlorophenyl	
219)	methyl	Cl	4-fluorophenyl	4-chloro-2-methoxyphenyl	
220)	methyl	Cl	4-fluorophenyl	4-trifluoromethylphenyl	
221)	methyl	Cl	4-fluorophenyl	2-trifluoromethylphenyl	
222)	methyl	Cl	4-fluorophenyl	3-trifluoromethylphenyl	
223)	methyl	Cl	4-fluorophenyl	4-(trifluoromethoxy)phenyl	
224)	methyl	Cl	4-fluorophenyl	3-(trifluoromethoxy)phenyl	
225)	methyl	Cl	4-fluorophenyl	4-(difluoromethoxy)phenyl	
226)	methyl	Cl	4-fluorophenyl	3-(difluoromethoxy)phenyl	
227)	methyl	Cl	4-fluorophenyl	4-cyanophenyl	
228)	methyl	Cl	3-fluorophenyl	phenyl	
229)	methyl	Cl	3-fluorophenyl	4-ethylphenyl	
230)	methyl	Cl	3-fluorophenyl	4-methylphenyl	
231)	methyl	Cl	3-fluorophenyl	2-methylphenyl	
232)	methyl	Cl	3-fluorophenyl	3-methylphenyl	
233)	methyl	Cl	3-fluorophenyl	4-fluorophenyl	

TABLE 1-continued

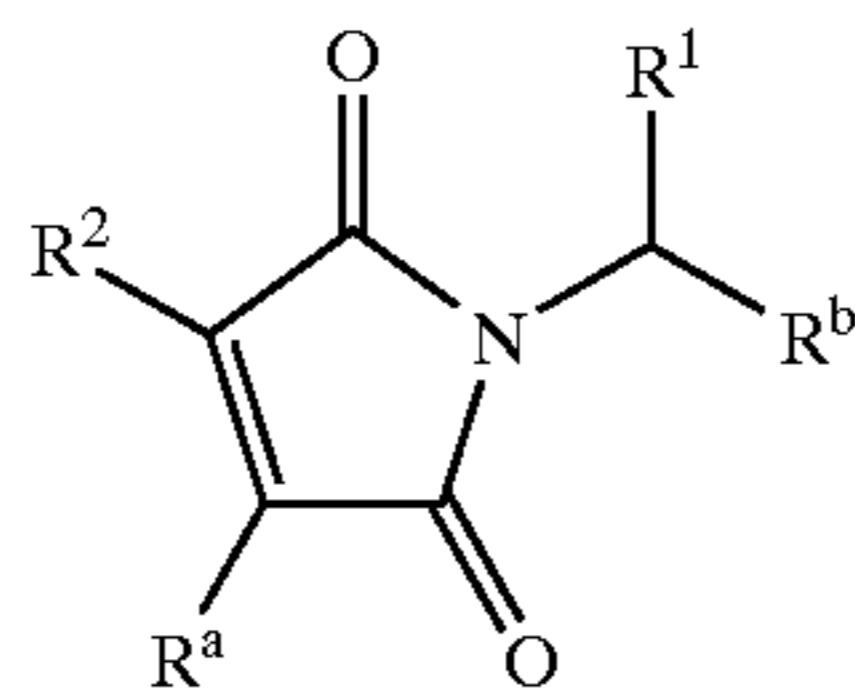
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
234)	methyl	Cl	3-fluorophenyl	2,4-difluorophenyl	
235)	methyl	Cl	3-fluorophenyl	4-chlorophenyl	
236)	methyl	Cl	3-fluorophenyl	3-chlorophenyl	
237)	methyl	Cl	3-fluorophenyl	2-chlorophenyl	
238)	methyl	Cl	3-fluorophenyl	4-chloro-2-methoxyphenyl	
239)	methyl	Cl	3-fluorophenyl	4-trifluoromethylphenyl	
240)	methyl	Cl	3-fluorophenyl	2-trifluoromethylphenyl	
241)	methyl	Cl	3-fluorophenyl	3-trifluoromethylphenyl	
242)	methyl	Cl	3-fluorophenyl	4-(trifluoromethoxy)phenyl	
243)	methyl	Cl	3-fluorophenyl	3-(trifluoromethoxy)phenyl	
244)	methyl	Cl	3-fluorophenyl	4-(difluoromethoxy)phenyl	
245)	methyl	Cl	3-fluorophenyl	3-(difluoromethoxy)phenyl	
246)	methyl	Cl	3-fluorophenyl	4-cyanophenyl	
247)	methyl	Cl	2-fluorophenyl	phenyl	
248)	methyl	Cl	2-fluorophenyl	4-ethylphenyl	
249)	methyl	Cl	2-fluorophenyl	4-methylphenyl	
250)	methyl	Cl	2-fluorophenyl	2-methylphenyl	
251)	methyl	Cl	2-fluorophenyl	3-methylphenyl	
252)	methyl	Cl	2-fluorophenyl	4-fluorophenyl	
253)	methyl	Cl	2-fluorophenyl	2,4-difluorophenyl	
254)	methyl	Cl	2-fluorophenyl	4-chlorophenyl	
255)	methyl	Cl	2-fluorophenyl	3-chlorophenyl	
256)	methyl	Cl	2-fluorophenyl	2-chlorophenyl	
257)	methyl	Cl	2-fluorophenyl	4-chloro-2-methoxyphenyl	
258)	methyl	Cl	2-fluorophenyl	4-trifluoromethylphenyl	
259)	methyl	Cl	2-fluorophenyl	2-trifluoromethylphenyl	
260)	methyl	Cl	2-fluorophenyl	3-trifluoromethylphenyl	
261)	methyl	Cl	2-fluorophenyl	4-(trifluoromethoxy)phenyl	
262)	methyl	Cl	2-fluorophenyl	3-(trifluoromethoxy)phenyl	
263)	methyl	Cl	2-fluorophenyl	4-(difluoromethoxy)phenyl	
264)	methyl	Cl	2-fluorophenyl	3-(difluoromethoxy)phenyl	
265)	methyl	Cl	2-fluorophenyl	4-cyanophenyl	
266)	methyl	Cl	2,4-difluorophenyl	phenyl	
267)	methyl	Cl	2,4-difluorophenyl	4-ethylphenyl	
268)	methyl	Cl	2,4-difluorophenyl	4-methylphenyl	
269)	methyl	Cl	2,4-difluorophenyl	2-methylphenyl	
270)	methyl	Cl	2,4-difluorophenyl	3-methylphenyl	
271)	methyl	Cl	2,4-difluorophenyl	4-fluorophenyl	
272)	methyl	Cl	2,4-difluorophenyl	2,4-difluorophenyl	
273)	methyl	Cl	2,4-difluorophenyl	4-chlorophenyl	
274)	methyl	Cl	2,4-difluorophenyl	3-chlorophenyl	
275)	methyl	Cl	2,4-difluorophenyl	2-chlorophenyl	
276)	methyl	Cl	2,4-difluorophenyl	4-chloro-2-methoxyphenyl	
277)	methyl	Cl	2,4-difluorophenyl	4-trifluoromethylphenyl	
278)	methyl	Cl	2,4-difluorophenyl	2-trifluoromethylphenyl	
279)	methyl	Cl	2,4-difluorophenyl	3-trifluoromethylphenyl	
280)	methyl	Cl	2,4-difluorophenyl	4-(trifluoromethoxy)phenyl	
281)	methyl	Cl	2,4-difluorophenyl	3-(trifluoromethoxy)phenyl	
282)	methyl	Cl	2,4-difluorophenyl	4-(difluoromethoxy)phenyl	
283)	methyl	Cl	2,4-difluorophenyl	3-(difluoromethoxy)phenyl	
284)	methyl	Cl	2,4-difluorophenyl	4-cyanophenyl	
285)	methyl	Cl	4-trifluoromethylphenyl	phenyl	
286)	methyl	Cl	4-trifluoromethylphenyl	4-ethylphenyl	
287)	methyl	Cl	4-trifluoromethylphenyl	4-methylphenyl	
288)	methyl	Cl	4-trifluoromethylphenyl	2-methylphenyl	
289)	methyl	Cl	4-trifluoromethylphenyl	3-methylphenyl	
290)	methyl	Cl	4-trifluoromethylphenyl	4-fluorophenyl	
291)	methyl	Cl	4-trifluoromethylphenyl	2,4-difluorophenyl	
292)	methyl	Cl	4-trifluoromethylphenyl	4-chlorophenyl	
293)	methyl	Cl	4-trifluoromethylphenyl	3-chlorophenyl	
294)	methyl	Cl	4-trifluoromethylphenyl	2-chlorophenyl	
295)	methyl	Cl	4-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
296)	methyl	Cl	4-trifluoromethylphenyl	4-trifluoromethylphenyl	
297)	methyl	Cl	4-trifluoromethylphenyl	2-trifluoromethylphenyl	
298)	methyl	Cl	4-trifluoromethylphenyl	3-trifluoromethylphenyl	
299)	methyl	Cl	4-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
300)	methyl	Cl	4-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	

TABLE 1-continued

II

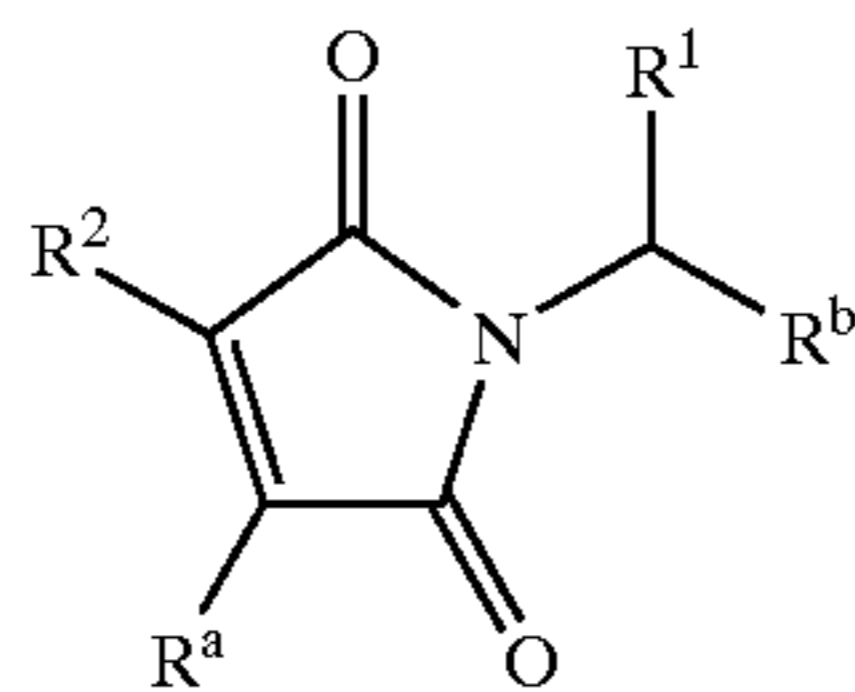


No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
301)	methyl	Cl	4-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
302)	methyl	Cl	4-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
303)	methyl	Cl	4-trifluoromethylphenyl	4-cyanophenyl	
304)	methyl	Cl	3,4-dichlorophenyl	4-fluorophenyl	
305)	methyl	Cl	3,4-(methylenedioxy)-phenyl	phenyl	
306)	methyl	Cl	3-trifluoromethylphenyl	phenyl	
307)	methyl	Cl	3-trifluoromethylphenyl	4-ethylphenyl	
308)	methyl	Cl	3-trifluoromethylphenyl	4-methylphenyl	
309)	methyl	Cl	3-trifluoromethylphenyl	2-methylphenyl	
310)	methyl	Cl	3-trifluoromethylphenyl	3-methylphenyl	
311)	methyl	Cl	3-trifluoromethylphenyl	4-fluorophenyl	
312)	methyl	Cl	3-trifluoromethylphenyl	2,4-difluorophenyl	
313)	methyl	Cl	3-trifluoromethylphenyl	4-chlorophenyl	
314)	methyl	Cl	3-trifluoromethylphenyl	3-chlorophenyl	
315)	methyl	Cl	3-trifluoromethylphenyl	2-chlorophenyl	
316)	methyl	Cl	3-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
317)	methyl	Cl	3-trifluoromethylphenyl	4-trifluoromethylphenyl	
318)	methyl	Cl	3-trifluoromethylphenyl	2-trifluoromethylphenyl	
319)	methyl	Cl	3-trifluoromethylphenyl	3-trifluoromethylphenyl	
320)	methyl	Cl	3-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
321)	methyl	Cl	3-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
322)	methyl	Cl	3-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
323)	methyl	Cl	3-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
324)	methyl	Cl	3-trifluoromethylphenyl	4-cyanophenyl	
325)	formyl	Cl	phenyl	phenyl	
326)	formyl	Cl	phenyl	4-methylphenyl	
327)	formyl	Cl	phenyl	2,4-dichlorophenyl	
328)	formyl	Cl	4-methylphenyl	4-chlorophenyl	
329)	formyl	Cl	4-methoxyphenyl	4-methoxyphenyl	
330)	formyl	Cl	4-methoxyphenyl	2-methoxyphenyl	
331)	formyl	Cl	4-methoxyphenyl	4-chlorophenyl	
332)	formyl	Cl	4-methoxyphenyl	2,4-dichlorophenyl	
333)	formyl	Cl	3-chlorophenyl	phenyl	
334)	formyl	Cl	3,4-dichlorophenyl	phenyl	
335)	formyl	Cl	4-chlorophenyl	4-chlorophenyl	
336)	formyl	Cl	4-chlorophenyl	3,4-dichlorophenyl	
337)	formyl	Cl	4-chlorophenyl	2,4-dichlorophenyl	
338)	formyl	Cl	4-chlorophenyl	4-fluorophenyl	
339)	formyl	Cl	4-chlorophenyl	4-formylphenyl	
340)	formyl	Cl	4-bromophenyl	4-methoxyphenyl	
341)	formyl	Cl	4-bromophenyl	4-formylphenyl	
342)	formyl	Cl	phenyl	4-isopropylphenyl	
343)	formyl	Cl	phenyl	4-fluorophenyl	
344)	formyl	Cl	phenyl	3-fluorophenyl	
345)	formyl	Cl	phenyl	2-fluorophenyl	
346)	formyl	Cl	phenyl	2,3,5,6-tetra-fluorophenyl	
347)	formyl	Cl	phenyl	4-trifluoromethylphenyl	
348)	formyl	Cl	phenyl	3-trifluoromethylphenyl	
349)	formyl	Cl	phenyl	4-formylsulfonylphenyl	
350)	formyl	Cl	phenyl	4-chlorophenyl	
351)	formyl	Cl	phenyl	3-chlorophenyl	
352)	formyl	Cl	phenyl	2-chlorophenyl	
353)	formyl	Cl	phenyl	3,5-dichlorophenyl	
354)	formyl	Cl	phenyl	4-(trifluoromethoxy)phenyl	
355)	formyl	Cl	phenyl	3-(trifluoromethoxy)phenyl	
356)	formyl	Cl	phenyl	4-(difluoromethoxy)phenyl	
357)	formyl	Cl	phenyl	3-(difluoromethoxy)phenyl	
358)	formyl	Cl	phenyl	4-cyanophenyl	
359)	formyl	Cl	4-chlorophenyl	4-trifluoromethylphenyl	
360)	formyl	Cl	4-chlorophenyl	3-trifluoromethylphenyl	
361)	formyl	Cl	4-chlorophenyl	2-chlorophenyl	
362)	formyl	Cl	4-chlorophenyl	3-chlorophenyl	
363)	formyl	Cl	4-chlorophenyl	4-trifluoromethoxyphenyl	
364)	formyl	Cl	4-chlorophenyl	3-trifluoromethoxyphenyl	
365)	formyl	Cl	4-chlorophenyl	4-difluoromethoxyphenyl	
366)	formyl	Cl	4-chlorophenyl	3-difluoromethoxyphenyl	
367)	formyl	Cl	4-fluorophenyl	phenyl	



TABLE 1-continued

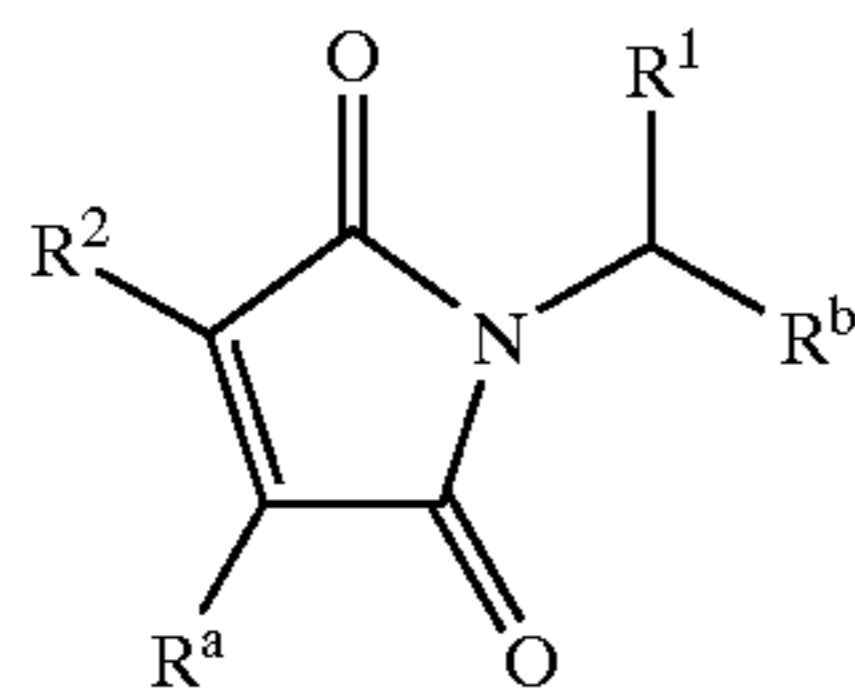
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
368)	formyl	Cl	4-fluorophenyl	4-ethylphenyl	
369)	formyl	Cl	4-fluorophenyl	4-formylphenyl	
370)	formyl	Cl	4-fluorophenyl	2-formylphenyl	
371)	formyl	Cl	4-fluorophenyl	3-formylphenyl	
372)	formyl	Cl	4-fluorophenyl	4-fluorophenyl	
373)	formyl	Cl	4-fluorophenyl	2,4-difluorophenyl	
374)	formyl	Cl	4-fluorophenyl	4-chlorophenyl	
375)	formyl	Cl	4-fluorophenyl	3-chlorophenyl	
376)	formyl	Cl	4-fluorophenyl	2-chlorophenyl	
377)	formyl	Cl	4-fluorophenyl	4-chloro-2-methoxyphenyl	
378)	formyl	Cl	4-fluorophenyl	4-trifluoromethylphenyl	
379)	formyl	Cl	4-fluorophenyl	2-trifluoromethylphenyl	
380)	formyl	Cl	4-fluorophenyl	3-trifluoromethylphenyl	
381)	formyl	Cl	4-fluorophenyl	4-(trifluoromethoxy)phenyl	
382)	formyl	Cl	4-fluorophenyl	3-(trifluoromethoxy)phenyl	
383)	formyl	Cl	4-fluorophenyl	4-(difluoromethoxy)phenyl	
384)	formyl	Cl	4-fluorophenyl	3-(difluoromethoxy)phenyl	
385)	formyl	Cl	4-fluorophenyl	4-cyanophenyl	
386)	formyl	Cl	3-fluorophenyl	phenyl	
387)	formyl	Cl	3-fluorophenyl	4-ethylphenyl	
388)	formyl	Cl	3-fluorophenyl	4-formylphenyl	
389)	formyl	Cl	3-fluorophenyl	2-formylphenyl	
390)	formyl	Cl	3-fluorophenyl	3-formylphenyl	
391)	formyl	Cl	3-fluorophenyl	4-fluorophenyl	
392)	formyl	Cl	3-fluorophenyl	2,4-difluorophenyl	
393)	formyl	Cl	3-fluorophenyl	4-chlorophenyl	
394)	formyl	Cl	3-fluorophenyl	3-chlorophenyl	
395)	formyl	Cl	3-fluorophenyl	2-chlorophenyl	
396)	formyl	Cl	3-fluorophenyl	4-chloro-2-methoxyphenyl	
397)	formyl	Cl	3-fluorophenyl	4-trifluoromethylphenyl	
398)	formyl	Cl	3-fluorophenyl	2-trifluoromethylphenyl	
399)	formyl	Cl	3-fluorophenyl	3-trifluoromethylphenyl	
400)	formyl	Cl	3-fluorophenyl	4-(trifluoromethoxy)phenyl	
401)	formyl	Cl	3-fluorophenyl	3-(trifluoromethoxy)phenyl	
402)	formyl	Cl	3-fluorophenyl	4-(difluoromethoxy)phenyl	
403)	formyl	Cl	3-fluorophenyl	3-(difluoromethoxy)phenyl	
404)	formyl	Cl	3-fluorophenyl	4-cyanophenyl	
405)	formyl	Cl	2-fluorophenyl	phenyl	
406)	formyl	Cl	2-fluorophenyl	4-ethylphenyl	
407)	formyl	Cl	2-fluorophenyl	4-formylphenyl	
408)	formyl	Cl	2-fluorophenyl	2-formylphenyl	
409)	formyl	Cl	2-fluorophenyl	3-formylphenyl	
410)	formyl	Cl	2-fluorophenyl	4-fluorophenyl	
411)	formyl	Cl	2-fluorophenyl	2,4-difluorophenyl	
412)	formyl	Cl	2-fluorophenyl	4-chlorophenyl	
413)	formyl	Cl	2-fluorophenyl	3-chlorophenyl	
414)	formyl	Cl	2-fluorophenyl	2-chlorophenyl	
415)	formyl	Cl	2-fluorophenyl	4-chloro-2-methoxyphenyl	
416)	formyl	Cl	2-fluorophenyl	4-trifluoromethylphenyl	
417)	formyl	Cl	2-fluorophenyl	2-trifluoromethylphenyl	
418)	formyl	Cl	2-fluorophenyl	3-trifluoromethylphenyl	
419)	formyl	Cl	2-fluorophenyl	4-(trifluoromethoxy)phenyl	
420)	formyl	Cl	2-fluorophenyl	3-(trifluoromethoxy)phenyl	
421)	formyl	Cl	2-fluorophenyl	4-(difluoromethoxy)phenyl	
422)	formyl	Cl	2-fluorophenyl	3-(difluoromethoxy)phenyl	
423)	formyl	Cl	2-fluorophenyl	4-cyanophenyl	
424)	formyl	Cl	2,4-difluorophenyl	phenyl	
425)	formyl	Cl	2,4-difluorophenyl	4-ethylphenyl	
426)	formyl	Cl	2,4-difluorophenyl	4-formylphenyl	
427)	formyl	Cl	2,4-difluorophenyl	2-formylphenyl	
428)	formyl	Cl	2,4-difluorophenyl	3-formylphenyl	
429)	formyl	Cl	2,4-difluorophenyl	4-fluorophenyl	
430)	formyl	Cl	2,4-difluorophenyl	2,4-difluorophenyl	
431)	formyl	Cl	2,4-difluorophenyl	4-chlorophenyl	
432)	formyl	Cl	2,4-difluorophenyl	3-chlorophenyl	
433)	formyl	Cl	2,4-difluorophenyl	2-chlorophenyl	
434)	formyl	Cl	2,4-difluorophenyl	4-chloro-2-methoxyphenyl	

TABLE 1-continued

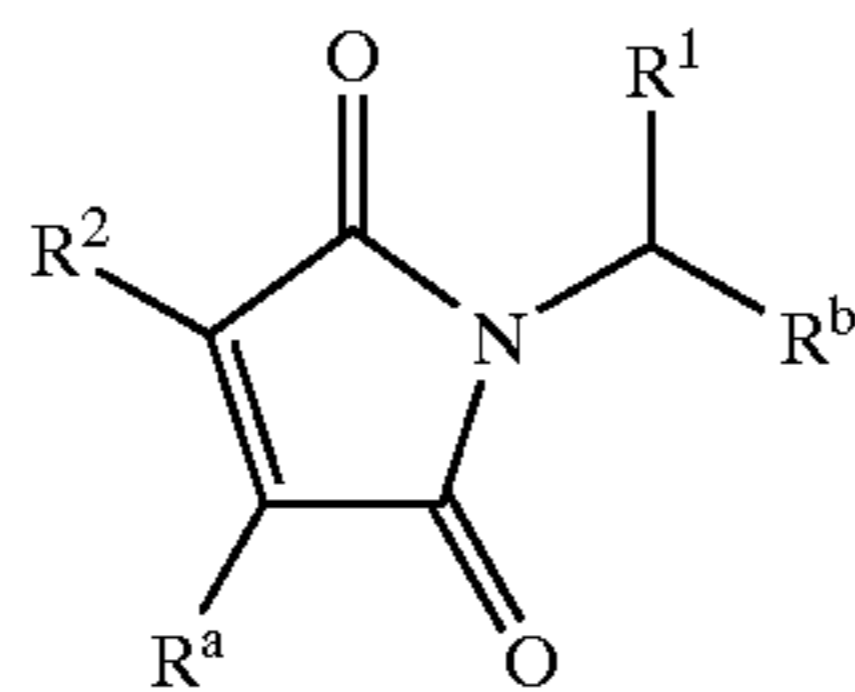
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
435)	formyl	Cl	2,4-difluorophenyl	4-trifluoromethylphenyl	
436)	formyl	Cl	2,4-difluorophenyl	2-trifluoromethylphenyl	
437)	formyl	Cl	2,4-difluorophenyl	3-trifluoromethylphenyl	
438)	formyl	Cl	2,4-difluorophenyl	4-(trifluoromethoxy)phenyl	
439)	formyl	Cl	2,4-difluorophenyl	3-(trifluoromethoxy)phenyl	
440)	formyl	Cl	2,4-difluorophenyl	4-(difluoromethoxy)phenyl	
441)	formyl	Cl	2,4-difluorophenyl	3-(difluoromethoxy)phenyl	
442)	formyl	Cl	2,4-difluorophenyl	4-cyanophenyl	
443)	formyl	Cl	4-trifluoromethylphenyl	phenyl	
444)	formyl	Cl	4-trifluoromethylphenyl	4-ethylphenyl	
445)	formyl	Cl	4-trifluoromethylphenyl	4-formylphenyl	
446)	formyl	Cl	4-trifluoromethylphenyl	2-formylphenyl	
447)	formyl	Cl	4-trifluoromethylphenyl	3-formylphenyl	
448)	formyl	Cl	4-trifluoromethylphenyl	4-fluorophenyl	
449)	formyl	Cl	4-trifluoromethylphenyl	2,4-difluorophenyl	
450)	formyl	Cl	4-trifluoromethylphenyl	4-chlorophenyl	
451)	formyl	Cl	4-trifluoromethylphenyl	3-chlorophenyl	
452)	formyl	Cl	4-trifluoromethylphenyl	2-chlorophenyl	
453)	formyl	Cl	4-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
454)	formyl	Cl	4-trifluoromethylphenyl	4-trifluoromethylphenyl	
455)	formyl	Cl	4-trifluoromethylphenyl	2-trifluoromethylphenyl	
456)	formyl	Cl	4-trifluoromethylphenyl	3-trifluoromethylphenyl	
457)	formyl	Cl	4-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
458)	formyl	Cl	4-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
459)	formyl	Cl	4-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
460)	formyl	Cl	4-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
461)	formyl	Cl	4-trifluoromethylphenyl	4-cyanophenyl	
462)	formyl	Cl	3,4-dichlorophenyl	4-fluorophenyl	
463)	formyl	Cl	3,4-(formylenedioxy)phenyl	phenyl	
464)	formyl	Cl	3-trifluoromethylphenyl	phenyl	
465)	formyl	Cl	3-trifluoromethylphenyl	4-ethylphenyl	
466)	formyl	Cl	3-trifluoromethylphenyl	4-formylphenyl	
467)	formyl	Cl	3-trifluoromethylphenyl	2-formylphenyl	
468)	formyl	Cl	3-trifluoromethylphenyl	3-formylphenyl	
469)	formyl	Cl	3-trifluoromethylphenyl	4-fluorophenyl	
470)	formyl	Cl	3-trifluoromethylphenyl	2,4-difluorophenyl	
471)	formyl	Cl	3-trifluoromethylphenyl	4-chlorophenyl	
472)	formyl	Cl	3-trifluoromethylphenyl	3-chlorophenyl	
473)	formyl	Cl	3-trifluoromethylphenyl	2-chlorophenyl	
474)	formyl	Cl	3-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
475)	formyl	Cl	3-trifluoromethylphenyl	4-trifluoromethylphenyl	
476)	formyl	Cl	3-trifluoromethylphenyl	2-trifluoromethylphenyl	
477)	formyl	Cl	3-trifluoromethylphenyl	3-trifluoromethylphenyl	
478)	formyl	Cl	3-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
479)	formyl	Cl	3-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
480)	formyl	Cl	3-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
481)	formyl	Cl	3-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
482)	formyl	Cl	3-trifluoromethylphenyl	4-cyanophenyl	
483)	formyl	Cl	4-formylphenyl	phenyl	
484)	formyl	Cl	4-methoxyphenyl	phenyl	
485)	formyl	Cl	4-chlorophenyl	phenyl	
486)	H	Br	phenyl	phenyl	m.p. 146–147° C.
487)	H	Br	phenyl	4-methylphenyl	
488)	H	Br	phenyl	2,4-dichlorophenyl	
489)	H	Br	4-methylphenyl	phenyl	
490)	H	Br	4-methylphenyl	4-chlorophenyl	
491)	H	Br	4-methoxyphenyl	phenyl	
492)	H	Br	4-methoxyphenyl	4-methoxyphenyl	
493)	H	Br	4-methoxyphenyl	2-methoxyphenyl	
494)	H	Br	4-methoxyphenyl	4-chlorophenyl	
495)	H	Br	4-methoxyphenyl	2,4-dichlorophenyl	
496)	H	Br	3-chlorophenyl	phenyl	
497)	H	Br	3,4-dichlorophenyl	phenyl	
498)	H	Br	4-chlorophenyl	phenyl	
499)	H	Br	4-chlorophenyl	4-chlorophenyl	
500)	H	Br	4-chlorophenyl	3,4-dichlorophenyl	
501)	H	Br	4-chlorophenyl	2,4-dichlorophenyl	

TABLE 1-continued

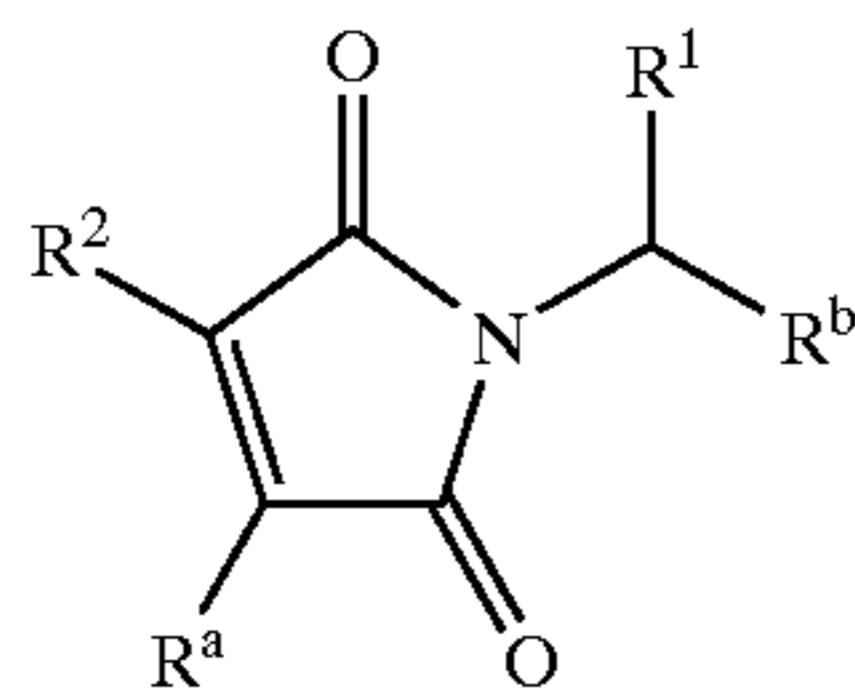
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
502)	H	Br	4-chlorophenyl	4-fluorophenyl	
503)	H	Br	4-chlorophenyl	4-methylphenyl	
504)	H	Br	4-bromophenyl	4-methoxyphenyl	
505)	H	Br	4-bromophenyl	4-methylphenyl	
506)	methyl	Br	4-methylphenyl	phenyl	
507)	methyl	Br	4-methoxyphenyl	phenyl	
508)	methyl	Br	4-chlorophenyl	phenyl	
509)	H	Br	phenyl	4-isopropylphenyl	
510)	H	Br	phenyl	4-fluorophenyl	
511)	H	Br	phenyl	3-fluorophenyl	
512)	H	Br	phenyl	2-fluorophenyl	
513)	H	Br	phenyl	2,3,5,6-tetra-fluorophenyl	
514)	H	Br	phenyl	4-trifluoromethylphenyl	
515)	H	Br	phenyl	3-trifluoromethylphenyl	
516)	H	Br	phenyl	4-methylsulfonylphenyl	
517)	H	Br	phenyl	4-chlorophenyl	
518)	H	Br	phenyl	3-chlorophenyl	
519)	H	Br	phenyl	2-chlorophenyl	
520)	H	Br	phenyl	3,5-dichlorophenyl	
521)	H	Br	phenyl	4-(trifluoromethoxy)phenyl	
522)	H	Br	phenyl	3-(trifluoromethoxy)phenyl	
523)	H	Br	phenyl	4-(difluoromethoxy)phenyl	
524)	H	Br	phenyl	3-(difluoromethoxy)phenyl	
525)	H	Br	phenyl	4-cyanophenyl	
526)	H	Br	4-chlorophenyl	4-trifluoromethylphenyl	
527)	H	Br	4-chlorophenyl	3-trifluoromethylphenyl	
528)	H	Br	4-chlorophenyl	2-chlorophenyl	
529)	H	Br	4-chlorophenyl	3-chlorophenyl	
530)	H	Br	4-chlorophenyl	4-trifluoromethoxyphenyl	
531)	H	Br	4-chlorophenyl	3-trifluoromethoxyphenyl	
532)	H	Br	4-chlorophenyl	4-difluoromethoxyphenyl	
533)	H	Br	4-chlorophenyl	3-difluoromethoxyphenyl	
534)	H	Br	4-fluorophenyl	phenyl	
535)	H	Br	4-fluorophenyl	4-ethylphenyl	
536)	H	Br	4-fluorophenyl	4-methylphenyl	
537)	H	Br	4-fluorophenyl	2-methylphenyl	
538)	H	Br	4-fluorophenyl	3-methylphenyl	
539)	H	Br	4-fluorophenyl	4-fluorophenyl	
540)	H	Br	4-fluorophenyl	2,4-difluorophenyl	
541)	H	Br	4-fluorophenyl	4-chlorophenyl	
542)	H	Br	4-fluorophenyl	3-chlorophenyl	
543)	H	Br	4-fluorophenyl	2-chlorophenyl	
544)	H	Br	4-fluorophenyl	4-chloro-2-methoxyphenyl	
545)	H	Br	4-fluorophenyl	4-trifluoromethylphenyl	
546)	H	Br	4-fluorophenyl	2-trifluoromethylphenyl	
547)	H	Br	4-fluorophenyl	3-trifluoromethylphenyl	
548)	H	Br	4-fluorophenyl	4-(trifluoromethoxy)phenyl	
549)	H	Br	4-fluorophenyl	3-(trifluoromethoxy)phenyl	
550)	H	Br	4-fluorophenyl	4-(difluoromethoxy)phenyl	
551)	H	Br	4-fluorophenyl	3-(difluoromethoxy)phenyl	
552)	H	Br	4-fluorophenyl	4-cyanophenyl	
553)	H	Br	3-fluorophenyl	phenyl	
554)	H	Br	3-fluorophenyl	4-ethylphenyl	
555)	H	Br	3-fluorophenyl	4-methylphenyl	
556)	H	Br	3-fluorophenyl	2-methylphenyl	
557)	H	Br	3-fluorophenyl	3-methylphenyl	
558)	H	Br	3-fluorophenyl	4-fluorophenyl	
559)	H	Br	3-fluorophenyl	2,4-difluorophenyl	
560)	H	Br	3-fluorophenyl	4-chlorophenyl	
561)	H	Br	3-fluorophenyl	3-chlorophenyl	
562)	H	Br	3-fluorophenyl	2-chlorophenyl	
563)	H	Br	3-fluorophenyl	4-chloro-2-methoxyphenyl	
564)	H	Br	3-fluorophenyl	4-trifluoromethylphenyl	
565)	H	Br	3-fluorophenyl	2-trifluoromethylphenyl	
566)	H	Br	3-fluorophenyl	3-trifluoromethylphenyl	
567)	H	Br	3-fluorophenyl	4-(trifluoromethoxy)phenyl	
568)	H	Br	3-fluorophenyl	3-(trifluoromethoxy)phenyl	

TABLE 1-continued

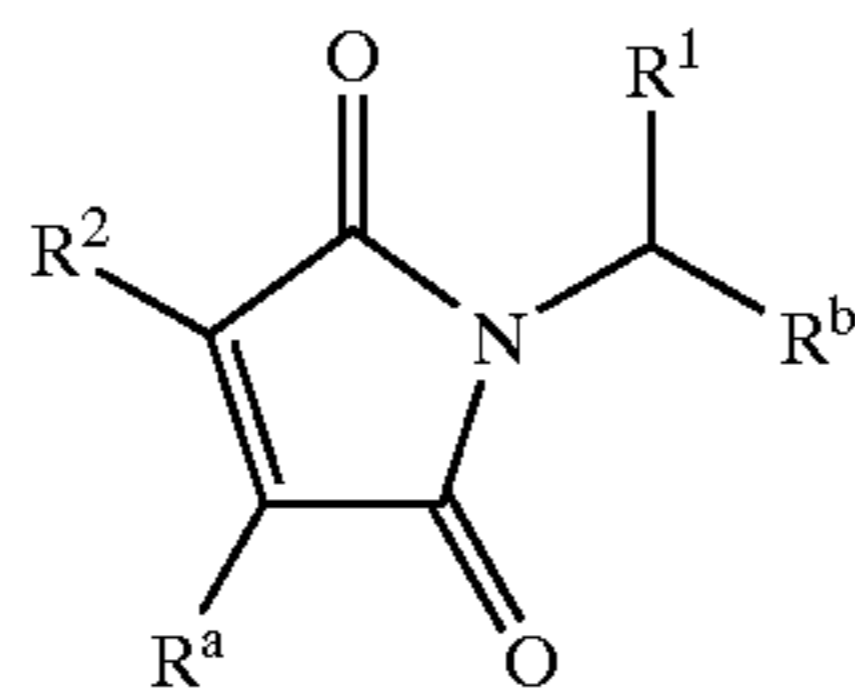
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
569)	H	Br	3-fluorophenyl	4-(difluoromethoxy)phenyl	
570)	H	Br	3-fluorophenyl	3-(difluoromethoxy)phenyl	
571)	H	Br	3-fluorophenyl	4-cyanophenyl	
572)	H	Br	2-fluorophenyl	phenyl	
573)	H	Br	2-fluorophenyl	4-ethylphenyl	
574)	H	Br	2-fluorophenyl	4-methylphenyl	
575)	H	Br	2-fluorophenyl	2-methylphenyl	
576)	H	Br	2-fluorophenyl	3-methylphenyl	
577)	H	Br	2-fluorophenyl	4-fluorophenyl	
578)	H	Br	2-fluorophenyl	2,4-difluorophenyl	
579)	H	Br	2-fluorophenyl	4-chlorophenyl	
580)	H	Br	2-fluorophenyl	3-chlorophenyl	
581)	H	Br	2-fluorophenyl	2-chlorophenyl	
582)	H	Br	2-fluorophenyl	4-chloro-2-methoxyphenyl	
583)	H	Br	2-fluorophenyl	4-trifluoromethylphenyl	
584)	H	Br	2-fluorophenyl	2-trifluoromethylphenyl	
585)	H	Br	2-fluorophenyl	3-trifluoromethylphenyl	
586)	H	Br	2-fluorophenyl	4-(trifluoromethoxy)phenyl	
587)	H	Br	2-fluorophenyl	3-(trifluoromethoxy)phenyl	
588)	H	Br	2-fluorophenyl	4-(difluoromethoxy)phenyl	
589)	H	Br	2-fluorophenyl	3-(difluoromethoxy)phenyl	
590)	H	Br	2-fluorophenyl	4-cyanophenyl	
591)	H	Br	2,4-difluorophenyl	phenyl	
592)	H	Br	2,4-difluorophenyl	4-ethylphenyl	
593)	H	Br	2,4-difluorophenyl	4-methylphenyl	
594)	H	Br	2,4-difluorophenyl	2-methylphenyl	
595)	H	Br	2,4-difluorophenyl	3-methylphenyl	
596)	H	Br	2,4-difluorophenyl	4-fluorophenyl	
597)	H	Br	2,4-difluorophenyl	2,4-difluorophenyl	
598)	H	Br	2,4-difluorophenyl	4-chlorophenyl	
599)	H	Br	2,4-difluorophenyl	3-chlorophenyl	
600)	H	Br	2,4-difluorophenyl	2-chlorophenyl	
601)	H	Br	2,4-difluorophenyl	4-chloro-2-methoxyphenyl	
602)	H	Br	2,4-difluorophenyl	4-trifluoromethylphenyl	
603)	H	Br	2,4-difluorophenyl	2-trifluoromethylphenyl	
604)	H	Br	2,4-difluorophenyl	3-trifluoromethylphenyl	
605)	H	Br	2,4-difluorophenyl	4-(trifluoromethoxy)phenyl	
606)	H	Br	2,4-difluorophenyl	3-(trifluoromethoxy)phenyl	
607)	H	Br	2,4-difluorophenyl	4-(difluoromethoxy)phenyl	
608)	H	Br	2,4-difluorophenyl	3-(difluoromethoxy)phenyl	
609)	H	Br	2,4-difluorophenyl	4-cyanophenyl	
610)	H	Br	4-trifluoromethylphenyl	phenyl	
611)	H	Br	4-trifluoromethylphenyl	4-ethylphenyl	
612)	H	Br	4-trifluoromethylphenyl	4-methylphenyl	
613)	H	Br	4-trifluoromethylphenyl	2-methylphenyl	
614)	H	Br	4-trifluoromethylphenyl	3-methylphenyl	
615)	H	Br	4-trifluoromethylphenyl	4-fluorophenyl	
616)	H	Br	4-trifluoromethylphenyl	2,4-difluorophenyl	
617)	H	Br	4-trifluoromethylphenyl	4-chlorophenyl	
618)	H	Br	4-trifluoromethylphenyl	3-chlorophenyl	
619)	H	Br	4-trifluoromethylphenyl	2-chlorophenyl	
620)	H	Br	4-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
621)	H	Br	4-trifluoromethylphenyl	4-trifluoromethylphenyl	
622)	H	Br	4-trifluoromethylphenyl	2-trifluoromethylphenyl	
623)	H	Br	4-trifluoromethylphenyl	3-trifluoromethylphenyl	
624)	H	Br	4-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
625)	H	Br	4-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
626)	H	Br	4-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
627)	H	Br	4-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
628)	H	Br	4-trifluoromethylphenyl	4-cyanophenyl	
629)	H	Br	3,4-dichlorophenyl	4-fluorophenyl	
630)	H	Br	3,4-(methylenedioxy)phenyl	phenyl	
631)	H	Br	3-trifluoromethylphenyl	phenyl	
632)	H	Br	3-trifluoromethylphenyl	4-ethylphenyl	
633)	H	Br	3-trifluoromethylphenyl	4-methylphenyl	
634)	H	Br	3-trifluoromethylphenyl	2-methylphenyl	
635)	H	Br	3-trifluoromethylphenyl	3-methylphenyl	

TABLE 1-continued

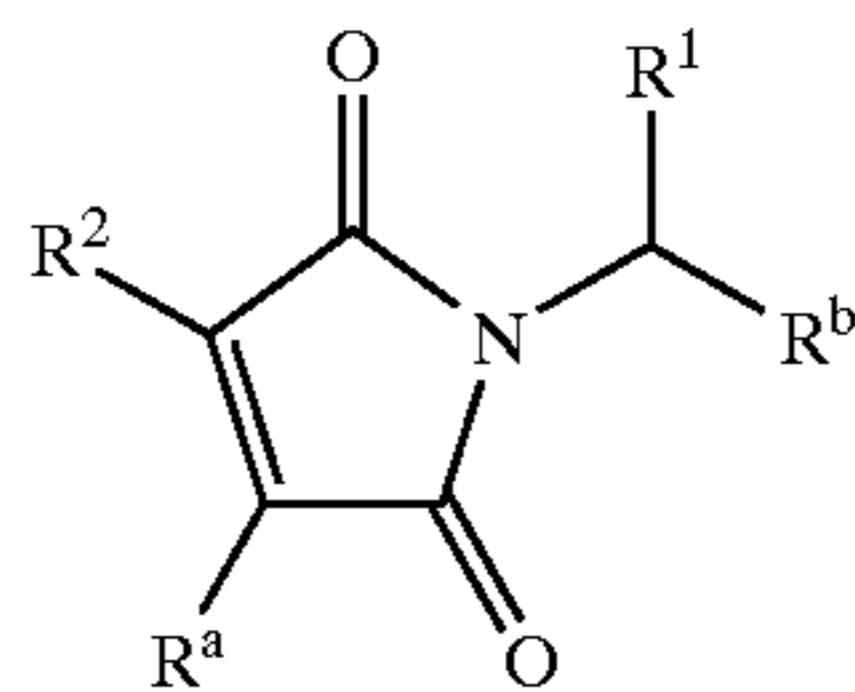
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
636)	H	Br	3-trifluoromethylphenyl	4-fluorophenyl	
637)	H	Br	3-trifluoromethylphenyl	2,4-difluorophenyl	
638)	H	Br	3-trifluoromethylphenyl	4-chlorophenyl	
639)	H	Br	3-trifluoromethylphenyl	3-chlorophenyl	
640)	H	Br	3-trifluoromethylphenyl	2-chlorophenyl	
641)	H	Br	3-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
642)	H	Br	3-trifluoromethylphenyl	4-trifluoromethylphenyl	
643)	H	Br	3-trifluoromethylphenyl	2-trifluoromethylphenyl	
644)	H	Br	3-trifluoromethylphenyl	3-trifluoromethylphenyl	
645)	H	Br	3-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
646)	H	Br	3-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
647)	H	Br	3-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
648)	H	Br	3-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
649)	H	Br	3-trifluoromethylphenyl	4-cyanophenyl	
650)	methyl	Br	phenyl	phenyl	
651)	methyl	Br	phenyl	4-methylphenyl	
652)	methyl	Br	phenyl	2,4-dichlorophenyl	
653)	methyl	Br	4-methylphenyl	4-chlorophenyl	
654)	methyl	Br	4-methoxyphenyl	4-methoxyphenyl	
655)	methyl	Br	4-methoxyphenyl	2-methoxyphenyl	
656)	methyl	Br	4-methoxyphenyl	4-chlorophenyl	
657)	methyl	Br	4-methoxyphenyl	2,4-dichlorophenyl	
658)	methyl	Br	3-chlorophenyl	phenyl	
659)	methyl	Br	3,4-dichlorophenyl	phenyl	
660)	methyl	Br	4-chlorophenyl	4-chlorophenyl	
661)	methyl	Br	4-chlorophenyl	3,4-dichlorophenyl	
662)	methyl	Br	4-chlorophenyl	2,4-dichlorophenyl	
663)	methyl	Br	4-chlorophenyl	4-fluorophenyl	
664)	methyl	Br	4-chlorophenyl	4-methylphenyl	
665)	methyl	Br	4-bromophenyl	4-methoxyphenyl	
666)	methyl	Br	4-bromophenyl	4-methylphenyl	
667)	methyl	Br	phenyl	4-isopropylphenyl	
668)	methyl	Br	phenyl	4-fluorophenyl	
669)	methyl	Br	phenyl	3-fluorophenyl	
670)	methyl	Br	phenyl	2-fluorophenyl	
671)	methyl	Br	phenyl	2,3,5,6-tetra-fluorophenyl	
672)	methyl	Br	phenyl	4-trifluoromethylphenyl	
673)	methyl	Br	phenyl	3-trifluoromethylphenyl	
674)	methyl	Br	phenyl	4-methylsulfophenylphenyl	
675)	methyl	Br	phenyl	4-chlorophenyl	
676)	methyl	Br	phenyl	3-chlorophenyl	
677)	methyl	Br	phenyl	2-chlorophenyl	
678)	methyl	Br	phenyl	3,5-dichlorophenyl	
679)	methyl	Br	phenyl	4-(trifluoromethoxy)phenyl	
680)	methyl	Br	phenyl	3-(trifluoromethoxy)phenyl	
681)	methyl	Br	phenyl	4-(difluoromethoxy)phenyl	
682)	methyl	Br	phenyl	3-(difluoromethoxy)phenyl	
683)	methyl	Br	phenyl	4-cyanophenyl	
684)	methyl	Br	4-chlorophenyl	4-trifluoromethylphenyl	
685)	methyl	Br	4-chlorophenyl	3-trifluoromethylphenyl	
686)	methyl	Br	4-chlorophenyl	2-chlorophenyl	
687)	methyl	Br	4-chlorophenyl	3-chlorophenyl	
688)	methyl	Br	4-chlorophenyl	4-trifluoromethoxyphenyl	
689)	methyl	Br	4-chlorophenyl	3-trifluoromethoxyphenyl	
690)	methyl	Br	4-chlorophenyl	4-difluoromethoxyphenyl	
691)	methyl	Br	4-chlorophenyl	3-difluoromethoxyphenyl	
692)	methyl	Br	4-fluorophenyl	phenyl	
693)	methyl	Br	4-fluorophenyl	4-ethylphenyl	
694)	methyl	Br	4-fluorophenyl	4-methylphenyl	
695)	methyl	Br	4-fluorophenyl	2-methylphenyl	
696)	methyl	Br	4-fluorophenyl	3-methylphenyl	
697)	methyl	Br	4-fluorophenyl	4-fluorophenyl	
698)	methyl	Br	4-fluorophenyl	2,4-difluorophenyl	
699)	methyl	Br	4-fluorophenyl	4-chlorophenyl	
700)	methyl	Br	4-fluorophenyl	3-chlorophenyl	
701)	methyl	Br	4-fluorophenyl	2-chlorophenyl	
702)	methyl	Br	4-fluorophenyl	4-chloro-2-methoxyphenyl	

TABLE 1-continued

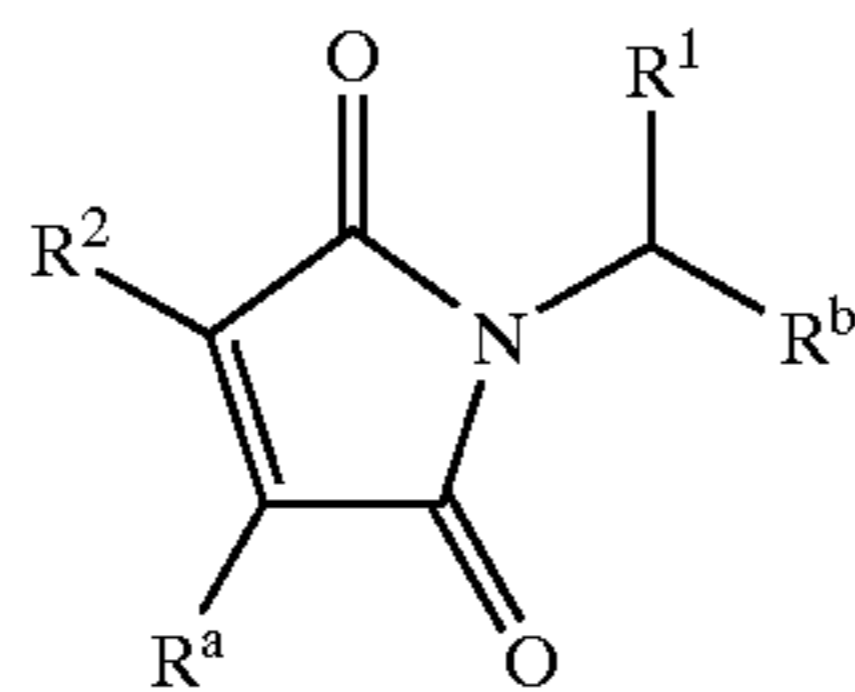
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
703)	methyl	Br	4-fluorophenyl	4-trifluoromethylphenyl	
704)	methyl	Br	4-fluorophenyl	2-trifluoromethylphenyl	
705)	methyl	Br	4-fluorophenyl	3-trifluoromethylphenyl	
706)	methyl	Br	4-fluorophenyl	4-(trifluoromethoxy)phenyl	
707)	methyl	Br	4-fluorophenyl	3-(trifluoromethoxy)phenyl	
708)	methyl	Br	4-fluorophenyl	4-(difluoromethoxy)phenyl	
709)	methyl	Br	4-fluorophenyl	3-(difluoromethoxy)phenyl	
710)	methyl	Br	4-fluorophenyl	4-cyanophenyl	
711)	methyl	Br	3-fluorophenyl	phenyl	
712)	methyl	Br	3-fluorophenyl	4-ethylphenyl	
713)	methyl	Br	3-fluorophenyl	4-methylphenyl	
714)	methyl	Br	3-fluorophenyl	2-methylphenyl	
715)	methyl	Br	3-fluorophenyl	3-methylphenyl	
716)	methyl	Br	3-fluorophenyl	4-fluorophenyl	
717)	methyl	Br	3-fluorophenyl	2,4-difluorophenyl	
718)	methyl	Br	3-fluorophenyl	4-chlorophenyl	
719)	methyl	Br	3-fluorophenyl	3-chlorophenyl	
720)	methyl	Br	3-fluorophenyl	2-chlorophenyl	
721)	methyl	Br	3-fluorophenyl	4-chloro-2-methoxyphenyl	
722)	methyl	Br	3-fluorophenyl	4-trifluoromethylphenyl	
723)	methyl	Br	3-fluorophenyl	2-trifluoromethylphenyl	
724)	methyl	Br	3-fluorophenyl	3-trifluoromethylphenyl	
725)	methyl	Br	3-fluorophenyl	4-(trifluoromethoxy)phenyl	
726)	methyl	Br	3-fluorophenyl	3-(trifluoromethoxy)phenyl	
727)	methyl	Br	3-fluorophenyl	4-(difluoromethoxy)phenyl	
728)	methyl	Br	3-fluorophenyl	3-(difluoromethoxy)phenyl	
729)	methyl	Br	3-fluorophenyl	4-cyanophenyl	
730)	methyl	Br	2-fluorophenyl	phenyl	
731)	methyl	Br	2-fluorophenyl	4-ethylphenyl	
732)	methyl	Br	2-fluorophenyl	4-methylphenyl	
733)	methyl	Br	2-fluorophenyl	2-methylphenyl	
734)	methyl	Br	2-fluorophenyl	3-methylphenyl	
735)	methyl	Br	2-fluorophenyl	4-fluorophenyl	
736)	methyl	Br	2-fluorophenyl	2,4-difluorophenyl	
737)	methyl	Br	2-fluorophenyl	4-chlorophenyl	
738)	methyl	Br	2-fluorophenyl	3-chlorophenyl	
739)	methyl	Br	2-fluorophenyl	2-chlorophenyl	
740)	methyl	Br	2-fluorophenyl	4-chloro-2-methoxyphenyl	
741)	methyl	Br	2-fluorophenyl	4-trifluoromethylphenyl	
742)	methyl	Br	2-fluorophenyl	2-trifluoromethylphenyl	
743)	methyl	Br	2-fluorophenyl	3-trifluoromethylphenyl	
744)	methyl	Br	2-fluorophenyl	4-(trifluoromethoxy)phenyl	
745)	methyl	Br	2-fluorophenyl	3-(trifluoromethoxy)phenyl	
746)	methyl	Br	2-fluorophenyl	4-(difluoromethoxy)phenyl	
747)	methyl	Br	2-fluorophenyl	3-(difluoromethoxy)phenyl	
748)	methyl	Br	2-fluorophenyl	4-cyanophenyl	
749)	methyl	Br	2,4-difluorophenyl	phenyl	
750)	methyl	Br	2,4-difluorophenyl	4-ethylphenyl	
751)	methyl	Br	2,4-difluorophenyl	4-methylphenyl	
752)	methyl	Br	2,4-difluorophenyl	2-methylphenyl	
753)	methyl	Br	2,4-difluorophenyl	3-methylphenyl	
754)	methyl	Br	2,4-difluorophenyl	4-fluorophenyl	
755)	methyl	Br	2,4-difluorophenyl	2,4-difluorophenyl	
756)	methyl	Br	2,4-difluorophenyl	4-chlorophenyl	
757)	methyl	Br	2,4-difluorophenyl	3-chlorophenyl	
758)	methyl	Br	2,4-difluorophenyl	2-chlorophenyl	
759)	methyl	Br	2,4-difluorophenyl	4-chloro-2-methoxyphenyl	
760)	methyl	Br	2,4-difluorophenyl	4-trifluoromethylphenyl	
761)	methyl	Br	2,4-difluorophenyl	2-trifluoromethylphenyl	
762)	methyl	Br	2,4-difluorophenyl	3-trifluoromethylphenyl	
763)	methyl	Br	2,4-difluorophenyl	4-(trifluoromethoxy)phenyl	
764)	methyl	Br	2,4-difluorophenyl	3-(trifluoromethoxy)phenyl	
765)	methyl	Br	2,4-difluorophenyl	4-(difluoromethoxy)phenyl	
766)	methyl	Br	2,4-difluorophenyl	3-(difluoromethoxy)phenyl	
767)	methyl	Br	2,4-difluorophenyl	4-cyanophenyl	
768)	methyl	Br	4-trifluoromethylphenyl	phenyl	
769)	methyl	Br	4-trifluoromethylphenyl	4-ethylphenyl	

TABLE 1-continued

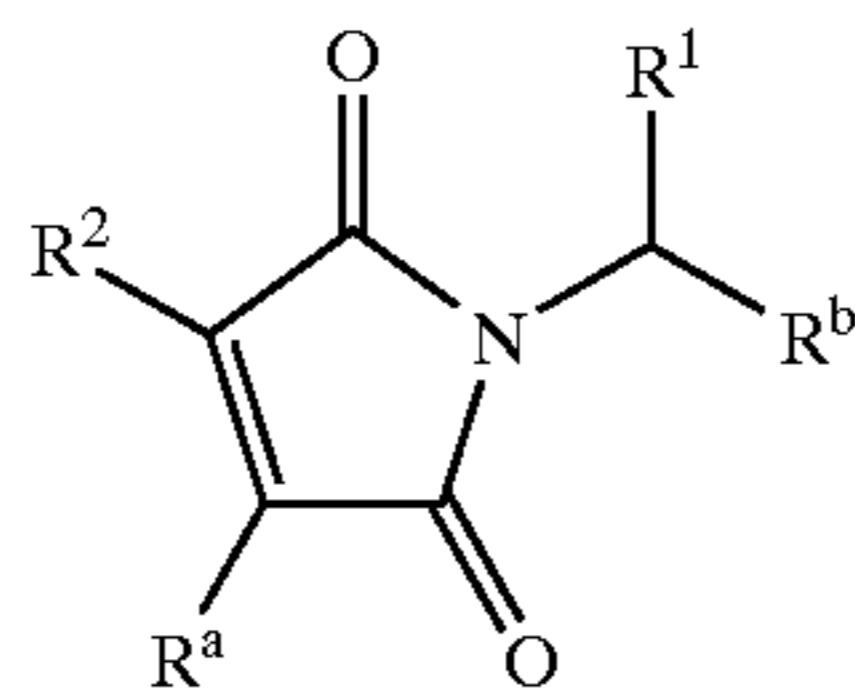
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
770)	methyl	Br	4-trifluoromethylphenyl	4-methylphenyl	
771)	methyl	Br	4-trifluoromethylphenyl	2-methylphenyl	
772)	methyl	Br	4-trifluoromethylphenyl	3-methylphenyl	
773)	methyl	Br	4-trifluoromethylphenyl	4-fluorophenyl	
774)	methyl	Br	4-trifluoromethylphenyl	2,4-difluorophenyl	
775)	methyl	Br	4-trifluoromethylphenyl	4-chlorophenyl	
776)	methyl	Br	4-trifluoromethylphenyl	3-chlorophenyl	
777)	methyl	Br	4-trifluoromethylphenyl	2-chlorophenyl	
778)	methyl	Br	4-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
779)	methyl	Br	4-trifluoromethylphenyl	4-trifluoromethylphenyl	
780)	methyl	Br	4-trifluoromethylphenyl	2-trifluoromethylphenyl	
781)	methyl	Br	4-trifluoromethylphenyl	3-trifluoromethylphenyl	
782)	methyl	Br	4-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
783)	methyl	Br	4-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
784)	methyl	Br	4-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
785)	methyl	Br	4-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
786)	methyl	Br	4-trifluoromethylphenyl	4-cyanophenyl	
787)	methyl	Br	3,4-dichloromethylphenyl	4-fluorophenyl	
788)	methyl	Br	3,4-(methylenedioxy)phenyl	phenyl	
789)	methyl	Br	3-trifluoromethylphenyl	phenyl	
790)	methyl	Br	3-trifluoromethylphenyl	4-ethylphenyl	
791)	methyl	Br	3-trifluoromethylphenyl	4-methylphenyl	
792)	methyl	Br	3-trifluoromethylphenyl	2-methylphenyl	
793)	methyl	Br	3-trifluoromethylphenyl	3-methylphenyl	
794)	methyl	Br	3-trifluoromethylphenyl	4-fluorophenyl	
795)	methyl	Br	3-trifluoromethylphenyl	2,4-difluorophenyl	
796)	methyl	Br	3-trifluoromethylphenyl	4-chlorophenyl	
797)	methyl	Br	3-trifluoromethylphenyl	3-chlorophenyl	
798)	methyl	Br	3-trifluoromethylphenyl	2-chlorophenyl	
799)	methyl	Br	3-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
800)	methyl	Br	3-trifluoromethylphenyl	4-trifluoromethylphenyl	
801)	methyl	Br	3-trifluoromethylphenyl	2-trifluoromethylphenyl	
802)	methyl	Br	3-trifluoromethylphenyl	3-trifluoromethylphenyl	
803)	methyl	Br	3-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
804)	methyl	Br	3-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
805)	methyl	Br	3-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
806)	methyl	Br	3-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
807)	methyl	Br	3-trifluoromethylphenyl	4-cyanophenyl	
808)	formyl	Br	phenyl	phenyl	
809)	formyl	Br	phenyl	4-methylphenyl	
810)	formyl	Br	phenyl	2,4-dichlorophenyl	
811)	formyl	Br	4-methylphenyl	4-chlorophenyl	
812)	formyl	Br	4-methoxyphenyl	4-methoxyphenyl	
813)	formyl	Br	4-methoxyphenyl	2-methoxyphenyl	
814)	formyl	Br	4-methoxyphenyl	4-chlorophenyl	
815)	formyl	Br	4-methoxyphenyl	2,4-dichlorophenyl	
816)	formyl	Br	3-chlorophenyl	phenyl	
817)	formyl	Br	3,4-dichlorophenyl	phenyl	
818)	formyl	Br	4-chlorophenyl	4-chlorophenyl	
819)	formyl	Br	4-chlorophenyl	3,4-dichlorophenyl	
820)	formyl	Br	4-chlorophenyl	2,4-dichlorophenyl	
821)	formyl	Br	4-chlorophenyl	4-fluorophenyl	
822)	formyl	Br	4-chlorophenyl	4-formylphenyl	
823)	formyl	Br	4-bromophenyl	4-methoxyphenyl	
824)	formyl	Br	4-bromophenyl	4-formylphenyl	
825)	formyl	Br	phenyl	4-isopropylphenyl	
826)	formyl	Br	phenyl	4-fluorophenyl	
827)	formyl	Br	phenyl	3-fluorophenyl	
828)	formyl	Br	phenyl	2-fluorophenyl	
829)	formyl	Br	phenyl	2,3,5,6-tetra-fluorophenyl	
830)	formyl	Br	phenyl	4-trifluoromethylphenyl	
831)	formyl	Br	phenyl	3-trifluoromethylphenyl	
832)	formyl	Br	phenyl	4-formylsulfonylphenyl	
833)	formyl	Br	phenyl	4-chlorophenyl	
834)	formyl	Br	phenyl	3-chlorophenyl	
835)	formyl	Br	phenyl	2-chlorophenyl	
836)	formyl	Br	phenyl	3,5-dichlorophenyl	

TABLE 1-continued

II

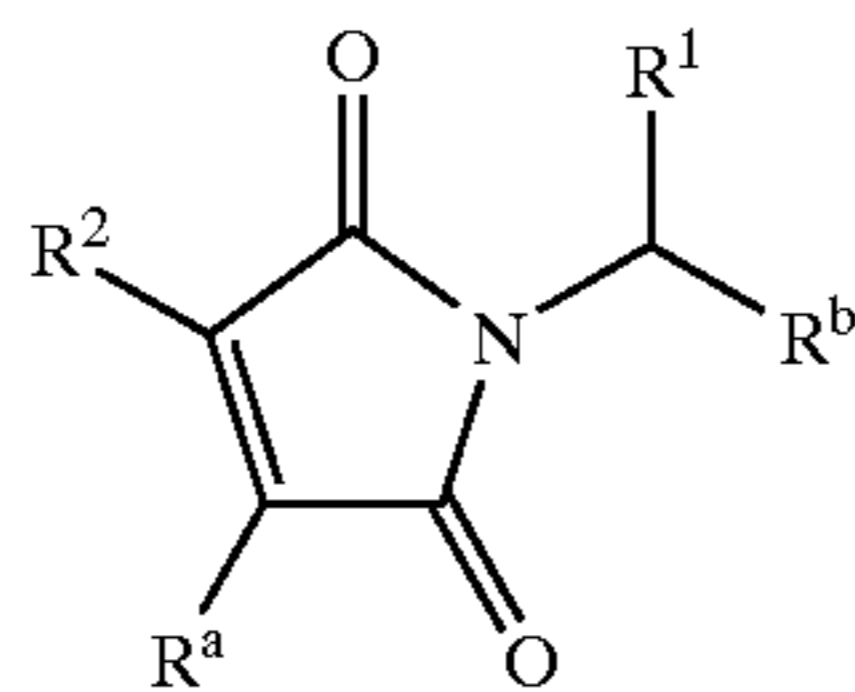


No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
837)	formyl	Br	phenyl	4-(trifluoromethoxy)phenyl	
838)	formyl	Br	phenyl	3-(trifluoromethoxy)phenyl	
839)	formyl	Br	phenyl	4-(difluoromethoxy)phenyl	
840)	formyl	Br	phenyl	3-(difluoromethoxy)phenyl	
841)	formyl	Br	phenyl	4-cyanophenyl	
842)	formyl	Br	4-chlorophenyl	4-trifluoroethylphenyl	
843)	formyl	Br	4-chlorophenyl	3-trifluoromethylphenyl	
844)	formyl	Br	4-chlorophenyl	2-chlorophenyl	
845)	formyl	Br	4-chlorophenyl	3-chlorophenyl	
846)	formyl	Br	4-chlorophenyl	4-trifluoromethoxyphenyl	
847)	formyl	Br	4-chlorophenyl	3-trifluoromethoxyphenyl	
848)	formyl	Br	4-chlorophenyl	4-difluoromethoxyphenyl	
849)	formyl	Br	4-chlorophenyl	3-difluoromethoxyphenyl	
850)	formyl	Br	4-fluorophenyl	phenyl	
851)	formyl	Br	4-fluorophenyl	4-ethylphenyl	
852)	formyl	Br	4-fluorophenyl	4-formylphenyl	
853)	formyl	Br	4-fluorophenyl	2-formylphenyl	
854)	formyl	Br	4-fluorophenyl	3-formylphenyl	
855)	formyl	Br	4-fluorophenyl	4-fluorophenyl	
856)	formyl	Br	4-fluorophenyl	2,4-difluorophenyl	
857)	formyl	Br	4-fluorophenyl	4-chlorophenyl	
858)	formyl	Br	4-fluorophenyl	3-chlorophenyl	
859)	formyl	Br	4-fluorophenyl	2-chlorophenyl	
860)	formyl	Br	4-fluorophenyl	4-chloro-2-methoxyphenyl	
861)	formyl	Br	4-fluorophenyl	4-trifluoromethylphenyl	
862)	formyl	Br	4-fluorophenyl	2-trifluoromethylphenyl	
863)	formyl	Br	4-fluorophenyl	3-trifluoromethylphenyl	
864)	formyl	Br	4-fluorophenyl	4-(trifluoromethoxy)phenyl	
865)	formyl	Br	4-fluorophenyl	3-(trifluoromethoxy)phenyl	
866)	formyl	Br	4-fluorophenyl	4-(difluoromethoxy)phenyl	
867)	formyl	Br	4-fluorophenyl	3-(difluoromethoxy)phenyl	
868)	formyl	Br	4-fluorophenyl	4-cyanophenyl	
869)	formyl	Br	3-fluorophenyl	phenyl	
870)	formyl	Br	3-fluorophenyl	4-ethylphenyl	
871)	formyl	Br	3-fluorophenyl	4-formylphenyl	
872)	formyl	Br	3-fluorophenyl	2-formylphenyl	
873)	formyl	Br	3-fluorophenyl	3-formylphenyl	
874)	formyl	Br	3-fluorophenyl	4-fluorophenyl	
875)	formyl	Br	3-fluorophenyl	2,4-difluorophenyl	
876)	formyl	Br	3-fluorophenyl	4-chlorophenyl	
877)	formyl	Br	3-fluorophenyl	3-chlorophenyl	
878)	formyl	Br	3-fluorophenyl	2-chlorophenyl	
879)	formyl	Br	3-fluorophenyl	4-chloro-2-methoxyphenyl	
880)	formyl	Br	3-fluorophenyl	4-trifluoromethylphenyl	
881)	formyl	Br	3-fluorophenyl	2-trifluoromethylphenyl	
882)	formyl	Br	3-fluorophenyl	3-trifluoromethylphenyl	
883)	formyl	Br	3-fluorophenyl	4-(trifluoromethoxy)phenyl	
884)	formyl	Br	3-fluorophenyl	3-(trifluoromethoxy)phenyl	
885)	formyl	Br	3-fluorophenyl	4-(difluoromethoxy)phenyl	
886)	formyl	Br	3-fluorophenyl	3-(difluoromethoxy)phenyl	
887)	formyl	Br	3-fluorophenyl	4-cyanophenyl	
888)	formyl	Br	2-fluorophenyl	phenyl	
889)	formyl	Br	2-fluorophenyl	4-ethylphenyl	
890)	formyl	Br	2-fluorophenyl	4-formylphenyl	
891)	formyl	Br	2-fluorophenyl	2-formylphenyl	
892)	formyl	Br	2-fluorophenyl	3-formylphenyl	
893)	formyl	Br	2-fluorophenyl	4-fluorophenyl	
894)	formyl	Br	2-fluorophenyl	2,4-difluorophenyl	
895)	formyl	Br	2-fluorophenyl	4-chlorophenyl	
896)	formyl	Br	2-fluorophenyl	3-chlorophenyl	
897)	formyl	Br	2-fluorophenyl	2-chlorophenyl	
898)	formyl	Br	2-fluorophenyl	4-chloro-2-methoxyphenyl	
899)	formyl	Br	2-fluorophenyl	4-trifluoromethylphenyl	
900)	formyl	Br	2-fluorophenyl	2-trifluoromethylphenyl	
901)	formyl	Br	2-fluorophenyl	3-trifluoromethylphenyl	
902)	formyl	Br	2-fluorophenyl	4-(trifluoromethoxy)phenyl	
903)	formyl	Br	2-fluorophenyl	3-(trifluoromethoxy)phenyl	



TABLE 1-continued

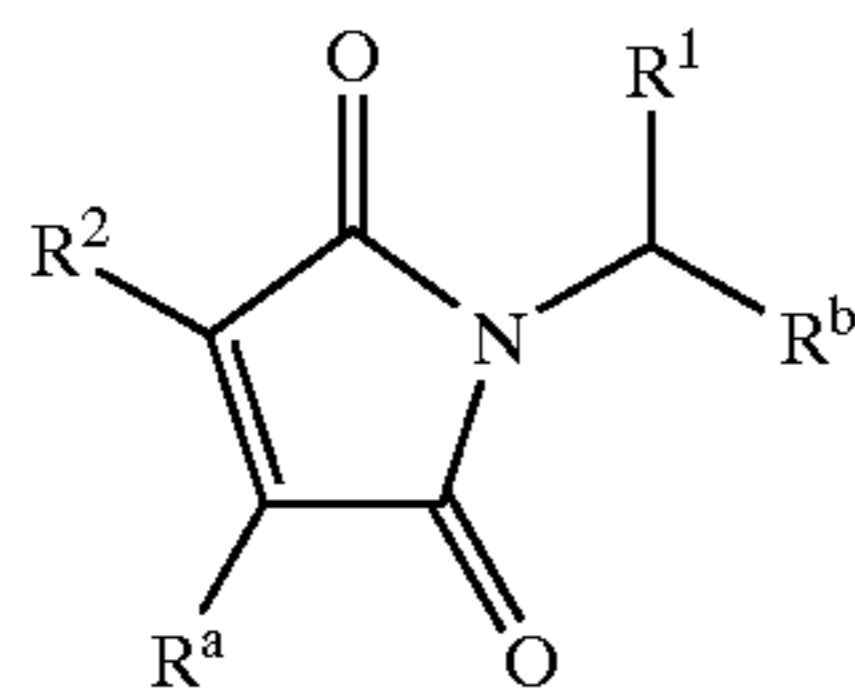
II



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
904)	formyl	Br	2-fluorophenyl	4-(difluoromethoxy)phenyl	
905)	formyl	Br	2-fluorophenyl	3-(difluoromethoxy)phenyl	
906)	formyl	Br	2-fluorophenyl	4-cyanophenyl	
907)	formyl	Br	2,4-difluorophenyl	phenyl	
908)	formyl	Br	2,4-difluorophenyl	4-ethylphenyl	
909)	formyl	Br	2,4-difluorophenyl	4-formylphenyl	
910)	formyl	Br	2,4-difluorophenyl	2-formylphenyl	
911)	formyl	Br	2,4-difluorophenyl	3-formylphenyl	
912)	formyl	Br	2,4-difluorophenyl	4-fluorophenyl	
913)	formyl	Br	2,4-difluorophenyl	2,4-difluorophenyl	
914)	formyl	Br	2,4-difluorophenyl	4-chlorophenyl	
915)	formyl	Br	2,4-difluorophenyl	3-chlorophenyl	
916)	formyl	Br	2,4-difluorophenyl	2-chlorophenyl	
917)	formyl	Br	2,4-difluorophenyl	4-chloro-2-methoxyphenyl	
918)	formyl	Br	2,4-difluorophenyl	4-trifluoromethylphenyl	
919)	formyl	Br	2,4-difluorophenyl	2-trifluoromethylphenyl	
920)	formyl	Br	2,4-difluorophenyl	3-trifluoromethylphenyl	
921)	formyl	Br	2,4-difluorophenyl	4-(trifluoromethoxy)phenyl	
922)	formyl	Br	2,4-difluorophenyl	3-(trifluoromethoxy)phenyl	
923)	formyl	Br	2,4-difluorophenyl	4-(difluoromethoxy)phenyl	
924)	formyl	Br	2,4-difluorophenyl	3-(difluoromethoxy)phenyl	
925)	formyl	Br	2,4-difluorophenyl	4-cyanophenyl	
926)	formyl	Br	4-trifluoromethylphenyl	phenyl	
927)	formyl	Br	4-trifluoromethylphenyl	4-ethylphenyl	
928)	formyl	Br	4-trifluoromethylphenyl	4-formylphenyl	
929)	formyl	Br	4-trifluoromethylphenyl	2-formylphenyl	
930)	formyl	Br	4-trifluoromethylphenyl	3-formylphenyl	
931)	formyl	Br	4-trifluoromethylphenyl	4-fluorophenyl	
932)	formyl	Br	4-trifluoromethylphenyl	2,4-difluorophenyl	
933)	formyl	Br	4-trifluoromethylphenyl	4-chlorophenyl	
934)	formyl	Br	4-trifluoromethylphenyl	3-chlorophenyl	
935)	formyl	Br	4-trifluoromethylphenyl	2-chlorophenyl	
936)	formyl	Br	4-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
937)	formyl	Br	4-trifluoromethylphenyl	4-trifluoromethylphenyl	
938)	formyl	Br	4-trifluoromethylphenyl	2-trifluoromethylphenyl	
939)	formyl	Br	4-trifluoromethylphenyl	3-trifluoromethylphenyl	
940)	formyl	Br	4-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
941)	formyl	Br	4-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
942)	formyl	Br	4-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
943)	formyl	Br	4-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
944)	formyl	Br	4-trifluoromethylphenyl	4-cyanophenyl	
945)	formyl	Br	3,4-dichloromethylphenyl	4-fluorophenyl	
946)	formyl	Br	3,4-(formylenedioxy)phenyl	phenyl	
947)	formyl	Br	3-trifluoromethylphenyl	phenyl	
948)	formyl	Br	3-trifluoromethylphenyl	4-ethylphenyl	
949)	formyl	Br	3-trifluoromethylphenyl	4-formylphenyl	
950)	formyl	Br	3-trifluoromethylphenyl	2-formylphenyl	
951)	formyl	Br	3-trifluoromethylphenyl	3-formylphenyl	
952)	formyl	Br	3-trifluoromethylphenyl	4-fluorophenyl	
953)	formyl	Br	3-trifluoromethylphenyl	2,4-difluorophenyl	
954)	formyl	Br	3-trifluoromethylphenyl	4-chlorophenyl	
955)	formyl	Br	3-trifluoromethylphenyl	3-chlorophenyl	
956)	formyl	Br	3-trifluoromethylphenyl	2-chlorophenyl	
957)	formyl	Br	3-trifluoromethylphenyl	4-chloro-2-methoxyphenyl	
958)	formyl	Br	3-trifluoromethylphenyl	4-trifluoromethylphenyl	
959)	formyl	Br	3-trifluoromethylphenyl	2-trifluoromethylphenyl	
960)	formyl	Br	3-trifluoromethylphenyl	3-trifluoromethylphenyl	
961)	formyl	Br	3-trifluoromethylphenyl	4-(trifluoromethoxy)phenyl	
962)	formyl	Br	3-trifluoromethylphenyl	3-(trifluoromethoxy)phenyl	
963)	formyl	Br	3-trifluoromethylphenyl	4-(difluoromethoxy)phenyl	
964)	formyl	Br	3-trifluoromethylphenyl	3-(difluoromethoxy)phenyl	
965)	formyl	Br	3-trifluoromethylphenyl	4-cyanophenyl	
966)	formyl	Br	4-formylphenyl	phenyl	
967)	formyl	Br	4-methoxyphenyl	phenyl	
968)	formyl	Br	4-chlorophenyl	phenyl	
969)	H	Cl	2-chloro-6-fluorophenyl	phenyl	
970)	H	Cl	2-chloro-6-fluorophenyl	4-fluorophenyl	

TABLE 1-continued

II

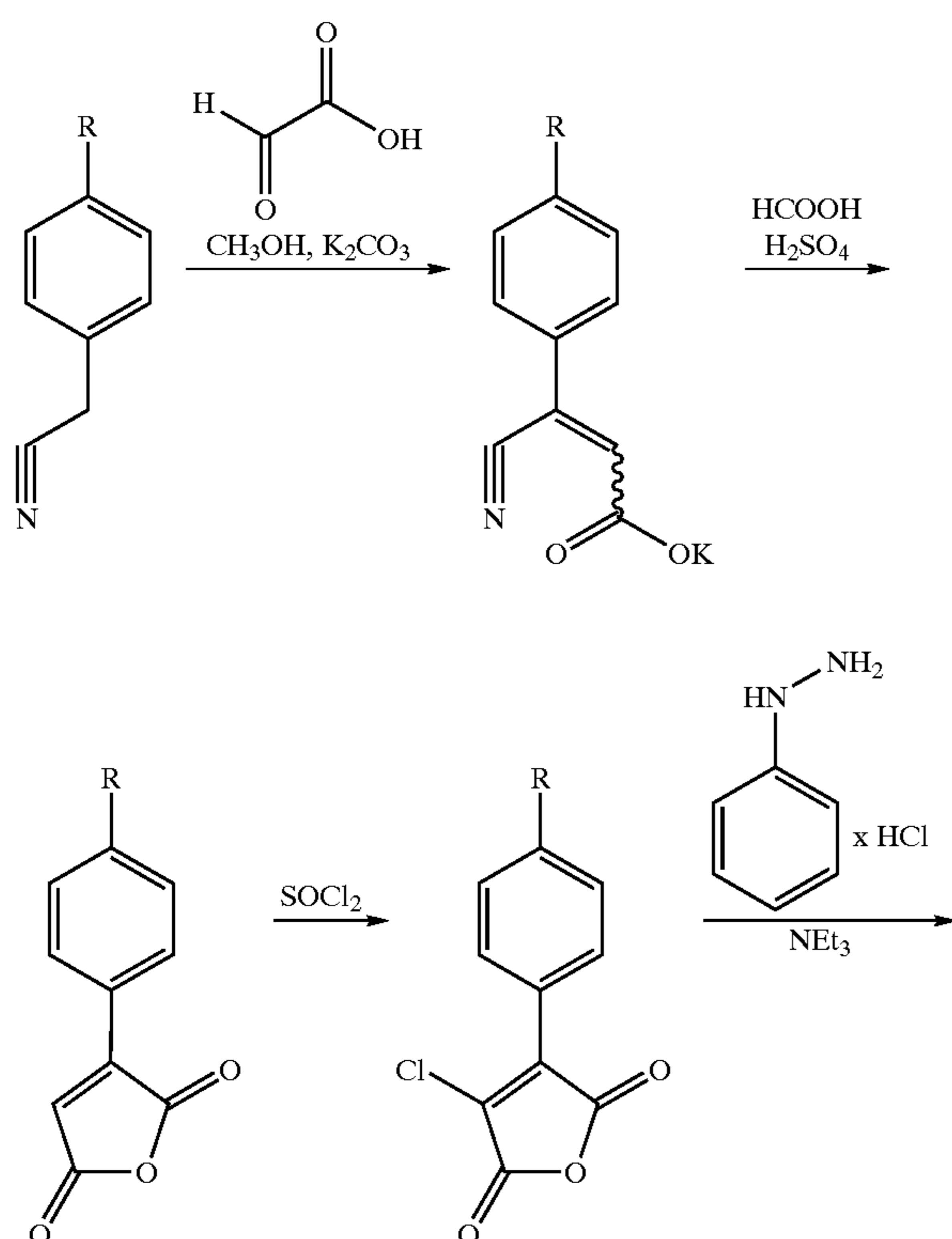


No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
971)	H	Cl	phenyl	4-cyanophenyl	
972)	H	Cl	phenyl	4-bromophenyl	
973)	H	Cl	phenyl	4-iodophenyl	
974)	acetyl	Cl	phenyl	phenyl	
975)	formyl	Cl	phenyl	phenyl	
976)	H	Cl	4-phenylphenyl	4-fluorophenyl	
977)	H	Cl	2,6-dichlorophenyl	phenyl	
978)	H	Cl	4-phenylphenyl	phenyl	
979)	methyl	Cl	4-phenylphenyl	phenyl	
980)	acetyl	Cl	4-chlorophenyl	phenyl	m.p. 138–140° C.
981)					
982)	H	OMe	2-chloro-6-fluorophenyl	phenyl	
983)	H	OMe	2-chloro-6-fluorophenyl	4-fluorophenyl	
984)	H	OMe	phenyl	4-cyanophenyl	
985)	H	OMe	phenyl	4-bromophenyl	
986)	H	OMe	phenyl	4-iodophenyl	
987)	acetyl	OMe	phenyl	phenyl	
988)	formyl	OMe	phenyl	phenyl	
989)	H	OMe	4-phenylphenyl	4-fluorophenyl	
990)	H	OMe	2,6-dichlorophenyl	phenyl	
991)	H	OMe	4-phenylphenyl	phenyl	
992)	methyl	OMe	4-phenylphenyl	phenyl	
993)	acetyl	OMe	4-chlorophenyl	phenyl	
994)	formyl	OMe	4-chlorophenyl	phenyl	
995)	methyl	OMe	phenyl	phenyl	
996)	H	OMe	3,4-dichlorophenyl	4-fluorophenyl	
997)	H	OMe	3,4-(methylenedioxy)phenyl	phenyl	
998)	H	OMe	4-fluorophenyl	phenyl	
999)	H	OMe	4-fluorophenyl	4-fluorophenyl	
1000)	H	OMe	4-chlorophenyl	2-chlorophenyl	
1001)	H	OMe	4-chlorophenyl	3-chlorophenyl	
1002)	H	OMe	4-chlorophenyl	4-trifluoromethylphenyl	
1003)	H	OMe	phenyl	3,5-dichlorophenyl	
1004)	H	OMe	phenyl	4-(trifluoromethoxy)phenyl	
1005)	H	OMe	phenyl	phenyl	
1006)	H	OMe	phenyl	4-methylphenyl	
1007)	H	OMe	phenyl	2,4-dichlorophenyl	
1008)	H	OMe	4-methylphenyl	phenyl	
1009)	H	OMe	4-methylphenyl	4-chlorophenyl	
1010)	H	OMe	4-methoxyphenyl	phenyl	
1011)	H	OMe	4-methoxyphenyl	4-methoxyphenyl	
1012)	H	OMe	4-methoxyphenyl	2-methoxyphenyl	
1013)	H	OMe	4-methoxyphenyl	4-chlorophenyl	
1014)	H	OMe	4-methoxyphenyl	2,4-dichlorophenyl	
1015)	H	OMe	3-chlorophenyl	phenyl	
1016)	H	OMe	3,4-dichlorophenyl	phenyl	
1017)	H	OMe	4-chlorophenyl	phenyl	
1018)	H	OMe	4-chlorophenyl	4-chlorophenyl	
1019)	H	OMe	4-chlorophenyl	3,4-dichlorophenyl	
1020)	H	OMe	4-chlorophenyl	2,4-dichlorophenyl	
1021)	H	OMe	4-chlorophenyl	4-fluorophenyl	
1022)	H	OMe	4-chlorophenyl	4-methylphenyl	
1023)	H	OMe	4-bromophenyl	4-methoxyphenyl	
1024)	H	OMe	4-bromophenyl	4-methylphenyl	
1025)	methyl	OMe	4-methylphenyl	phenyl	
1026)	methyl	OMe	4-methoxyphenyl	phenyl	
1027)	methyl	OMe	4-chlorophenyl	phenyl	
1028)	acetyl	OMe	phenyl	phenyl	
1029)	trifluoro-acetyl	OMe	phenyl	phenyl	
1030)	H	OMe	phenyl	4-isopropylphenyl	
1031)	H	OMe	phenyl	4-fluorophenyl	
1032)	H	OMe	phenyl	3-fluorophenyl	
1033)	H	OMe	phenyl	2-fluorophenyl	
1034)	H	OMe	phenyl	2,3,5,6-tetra-fluorophenyl	
1035)	H	OMe	phenyl	4-trifluoromethylphenyl	
1036)	H	OMe	phenyl	3-trifluoromethylphenyl	

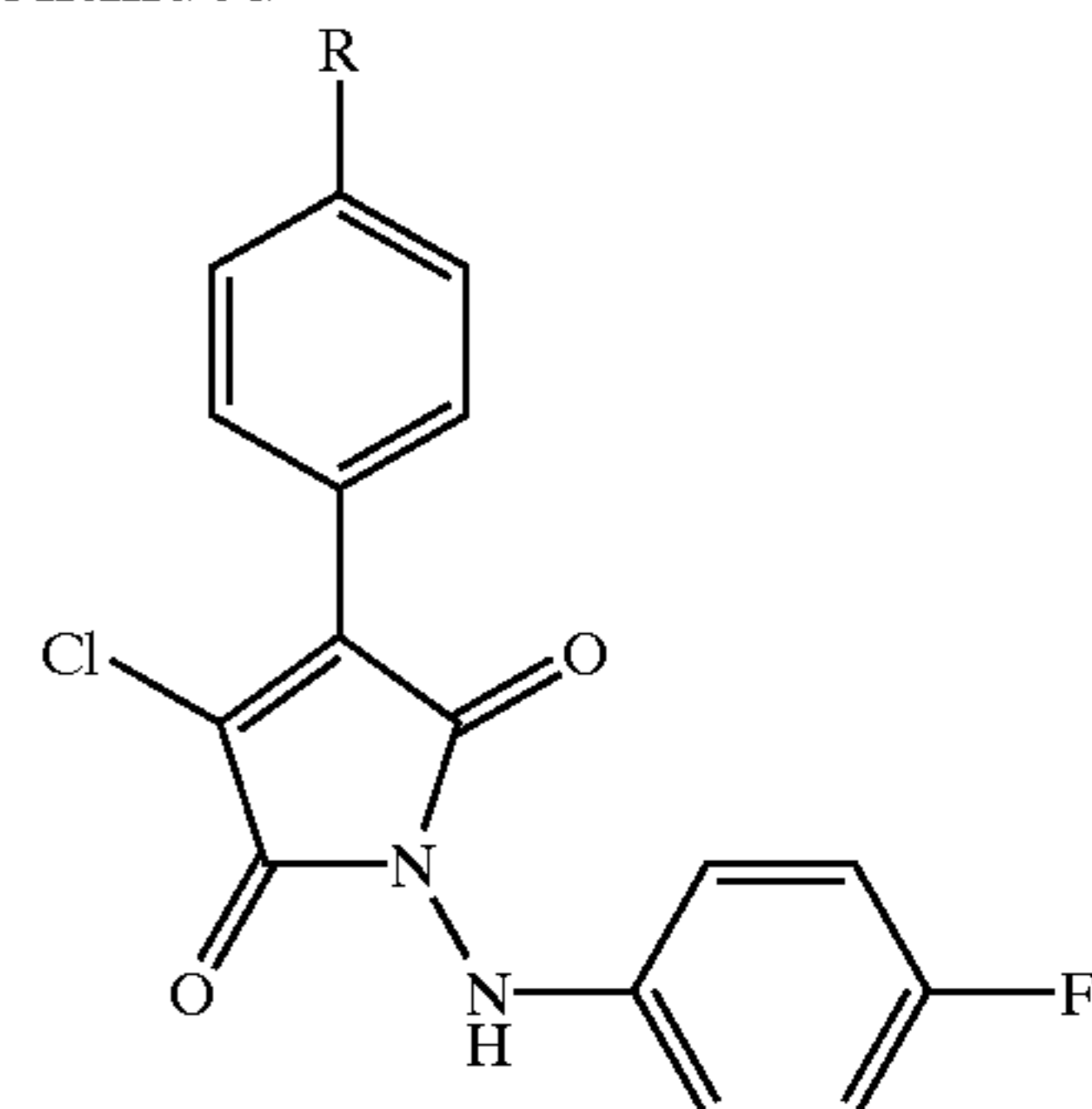
TABLE 1-continued

No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>a</sup>	R <sup>b</sup>	Phys. Data
1037)	H	OMe	phenyl	4-methylsulfohenylphenyl	
1038)	H	OMe	phenyl	4-chlorophenyl	
1039)	H	OMe	phenyl	3-chlorophenyl	
1040)	H	OMe	phenyl	2-chlorophenyl	
1041)	H	SMe	phenyl	phenyl	m.p. 86–88° C.
1042)	H	S(O)Me	phenyl	phenyl	m.p. 178–180° C.
1043)	H	SO <sub>2</sub> Me	phenyl	phenyl	m.p. 194–196° C.
1044)	H	Cl	4-bromophenyl	phenyl	m.p. 147–149° C.
1045)	H	Br	4-bromophenyl	phenyl	
1046)	H	Cl	4-phenylphenyl	phenyl	m.p. 177–179° C.
1047)	H	NMe <sub>2</sub>	phenyl	phenyl	
1048)	H	NMe <sub>2</sub>	phenyl	4-fluorophenyl	
1049)	H	NMe <sub>2</sub>	4-chlorophenyl	phenyl	
1050)	H	NEt <sub>2</sub>	phenyl	phenyl	
1051)	H	NEt <sub>2</sub>	4-chlorophenyl	phenyl	
1052)	H	NEt <sub>2</sub>	phenyl	4-fluorophenyl	
1053)	H	NHMe	phenyl	phenyl	
1054)	H	CHF <sub>2</sub> O	phenyl	phenyl	
1055)	H	CHF <sub>2</sub> O	4-chlorophenyl	phenyl	
1056)	H	CHF <sub>2</sub> O	phenyl	4-fluorophenyl	
1057)	H	MeOCH <sub>2</sub>	phenyl	phenyl	
1058)	H	MeOCH <sub>2</sub>	4-chlorophenyl	phenyl	
1059)	H	MeOCH <sub>2</sub>	phenyl	4-fluorophenyl	
1060)	formyl	Cl	4-chlorophenyl	phenyl	m.p. 164–166° C.

The compounds of the formula I can be prepared, for example, according to the reaction scheme below:



-continued



Compounds of the formula II are in particular those in which R<sup>1</sup> is hydrogen or a C<sub>1</sub>–C<sub>4</sub>-alkyl group or a formyl group (—CHO). Preference is furthermore given to compounds II in which the radicals R<sup>2</sup>, R<sup>a</sup> and R<sup>b</sup> independently of one another have the following meanings:

R<sup>2</sup> is halogen, C<sub>1</sub>–C<sub>6</sub>-alkoxy, C<sub>1</sub>–C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>–C<sub>6</sub>-alkyl, halo-C<sub>1</sub>–C<sub>6</sub>-alkoxy;

R<sup>a</sup> is phenyl which may be mono- or polysubstituted, preferably mono- or disubstituted, by halogen, halo-C<sub>1</sub>–C<sub>6</sub>-alkyl or by a phenyl group which for its part may also be substituted by halogen or C<sub>1</sub>–C<sub>4</sub>-alkyl;

R<sup>b</sup> is phenyl which may be mono- or polysubstituted, preferably mono- to tetrasubstituted, by halogen, C<sub>1</sub>–C<sub>6</sub>-alkyl, C<sub>1</sub>–C<sub>4</sub>-haloalkyl, C<sub>1</sub>–C<sub>4</sub>-haloalkoxy.

In This context, the radicals R<sup>1</sup>, R<sup>a</sup> and R<sup>b</sup>, in the case of the compounds II, have, for example, the following meanings:

R<sup>1</sup>: hydrogen, methyl, formyl, acetyl;

R<sup>2</sup>: halogen;

R<sup>a</sup>: phenyl, 4-chlorophenyl, 4-fluorophenyl, 3,4-dichlorophenyl, 2-chloro-6-fluorophenyl, 4-phenylphenyl, 2,6-dichlorophenyl or 2-chlorophenyl;

R<sup>b</sup>: 4-isopropylphenyl, 2,3,5,6-tetrafluorophenyl, 4-trifluoromethylphenyl, 4-chlorophenyl, 3-chlorophenyl, 2-chlorophenyl, 3,5-dichlorophenyl, 4-(trifluoromethoxy)phenyl, 4-trifluoromethylphenyl, phenyl, 4-fluorophenyl, 4-cyanophenyl, 4-bromophenyl, 4-iodophenyl.

The compounds I have excellent fungicidal activity. This is particularly true for the compounds Nos. 1, 6, 23, 27, 486, 1041, 1042, 1043, 1044, 1045 and 1046 listed in Table 1.

Usually, the plants are sprayed or dusted with the active compounds, or the seeds of the plants are treated with the active compounds.

The formulations (fungicidal compositions or agrochemical compositions) are prepared in a known manner, e.g. by extending the active ingredient with solvents and/or carriers, if desired using emulsifiers and dispersants, it also being possible to use other organic solvents as auxiliary solvents if water is used as the diluent. Auxiliaries which are suitable are essentially: solvents such as aromatics (e.g. xylene), chlorinated aromatics (e.g. chlorobenzenes), paraffins (e.g. mineral oil fractions), alcohols (e.g. methanol, butanol), ketones (e.g. cyclohexanone), amines (e.g. ethanolamine, dimethylformamide) and water; carriers such as ground natural minerals (e.g. kaolins, clays, talc, chalk) and ground synthetic minerals (e.g. highly disperse silica, silicates); emulsifiers such as non-ionic and anionic emulsifiers (e.g. polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates) and dispersants such as lignosulfite waste liquors and methylcellulose.

Suitable surfactants are the alkali metal salts, alkaline earth metal salts and ammonium salts of aromatic sulfonic acids, e.g. ligno-, phenol-, naphthalene- and dibutyl-naphthalenesulfonic acid, and of fatty acids, alkylsulfonates, alkylarylsulfonates, alkyl sulfates, lauryl ether sulfates and fatty alcohol sulfates, 10 and salts of sulfated hexa-, hepta- and octadecanols, and of fatty alcohol glycol ethers, condensates of sulfonated naphthalene and its derivatives with formaldehyde, condensates of naphthalene or of the naphthalenesulfonic acids with phenol or formaldehyde, polyoxyethylene octylphenol ether, ethoxylated isooctylphenol, octylphenol or nonylphenol, alkylphenyl polyglycol ethers, tributylphenyl polyglycol ether, alkylaryl polyether alcohols, isotridecyl alcohol, fatty alcohol/ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers or polyoxypropylene, lauryl alcohol polyglycol ether acetate, sorbitol esters, liquosulfite waste liquors and methylcellulose.

Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

Granules, e.g. coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Examples of solid carriers are mineral earths, such as silicas, silica gels, silicates, talc, kaolin, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, e.g. ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas, and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders or other solid carriers.

The following are examples of such formulations:

- I. a solution, suitable for use in the form of microdrops, of 90 parts by weight of a compound I according to the invention and 10 parts by weight of N-methyl-2-pyrrolidone;
- II. a mixture of 10 parts by weight of a compound I according to the invention, 70 parts by weight of xylene, 10 parts by weight of the adduct of 8 to 10 mol of ethylene oxide and 1 mol of oleic acid N-monoethanolamide, 5 parts by weight of calcium dodecylbenzenesulfonate, 5 parts by weight of the adduct of 40 mol of ethylene oxide to 1 mol of castor oil; a dispersion is obtained by finely distributing the solution in water.
- III. an aqueous dispersion of 10 parts by weight of a compound I according to the invention, 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil;
- IV. an aqueous dispersion of 10 parts by weight of a compound I according to the invention, 25 parts by weight of cyclohexanol, 55 parts by weight of a mineral oil fraction of boiling point 210 to 280° C. and 10 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil;
- V. a mixture, ground in a hammer mill, of 80 parts by weight, preferably of a solid compound I according to the invention, 3 parts by weight of sodium di-isobutyl-naphthalene-2-sulfonate, 10 parts by weight of the sodium salt of a lignosulfonic acid from a sulfite waste liquor and 7 parts by weight of pulverulent silica gel; a spray mixture is obtained by finely distributing the mixture in water;
- VI. an intimate mixture of 3 parts by weight of a compound I according to the invention and 97 parts by weight of finely divided kaolin; this dust comprises 3% by weight of active ingredient;
- VII. an intimate mixture of 30 parts by weight of a compound I according to the invention, 62 parts by weight of pulverulent silica gel and 8 parts by weight of paraffin oil which had been sprayed onto the surface of this silica gel; this formulation imparts good adhesion to the active ingredient;
- VIII. a stable aqueous dispersion of 40 parts by weight of a compound I according to the invention, 10 parts by weight of the sodium salt of a phenolsulfonic acid/urea/formaldehyde condensate, 2 parts by weight of silica gel and 48 parts by weight of water; this dispersion can be diluted further;
- IX. a stable oily dispersion of 20 parts by weight of a compound I according to the invention, 2 parts by weight of calcium dodecylbenzenesulfonate, 8 parts by weight of fatty alcohol polyglycol ether, 20 parts by weight of the sodium salt of a phenolsulfonic acid/urea/formaldehyde condensate and 50 parts by weight of a paraffinic mineral oil.

The active compounds of the formula I have excellent activity against a broad spectrum of phytopathogenic fungi, in particular from the classes of the Ascomycetes, Deuteromycetes, Phycomycetes and Basidiomycetes. Some of them act systemically, and they can therefore also be employed as foliar and soil-acting fungicides.

They are especially important for controlling a large number of fungi on a variety of crop plants such as wheat, rye, barley, oats, rice, maize, turf, cotton, soya, coffee, sugar cane, grapevines, fruit species, ornamentals and vegetables such as cucumbers, beans and cucurbits, and on the seeds of these plants.

The compounds are applied by treating the fungi, or the seeds, plants, materials or the soil to be protected against fungal infection, with a fungicidally active amount of the active ingredients. Application can be effected both before and after infection of the materials, plants or seeds by the fungi.

Specifically, the novel compounds are suitable for controlling the following plant diseases: *Erysiphe graminis* (powdery mildew) in cereals, *Erysiphe cichoracearum* and *Sphaerotheca fuliginea* on cucurbits, *Podosphaera leucotricha* on apples, *Uncinula necator* on grapevines, Puccinia species on cereals, Rhizoctonia species on cotton and turf, Ustilago species on cereals and sugar cane, *Venturia inaequalis* (scab) on apples, Helminthosporium species on cereals, *Septoria nodorum* on wheat, *Botrytis cinerea* (gray mold) on strawberries, grapevines, ornamentals and vegetables, *Cercospora arachidicola* on peanuts, *Pseudocercospora herpotrichoides* on wheat, barley, *Pyricularia oryzae* on rice, *Phytophthora infestans* on potatoes and tomatoes, Fusarium and Verticillium species on a variety of plants, *Plasmopara viticola* on grapevines and Alternaria species on vegetables and fruit.

The active compounds of the formula I can be present either in free form or in the form of their agriculturally utilizable or environmentally compatible salts. Such salts are, for example, acidic addition salts with inorganic or organic acids, for example hydrochloric acid, sulfuric acid, acetic acid, and other acids.

The active compounds of the formula I can also be employed in the protection of materials (protection of wood), for example against *Paecilomyces variotii*.

In general, the fungicidal compositions comprise from 0.1 to 95, preferably from 0.5 to 90, % by weight of active ingredient.

Depending on the nature of the desired effect, the rates of application are from 0.025 to 2, preferably 0.1 to 1, kg of active ingredient per ha.

In the treatment of seed, amounts of 0.001 to 50, preferably 0.01 to 10, g of active ingredient are generally required per kilogram of seed.

In the use form as fungicides, the agents according to the invention can also be present together with other active ingredients, e.g. with herbicides, insecticides, growth regulators, fungicides or else with fertilizers.

A mixture with other fungicides frequently results in a broader spectrum of fungicidal action. In particular when they are used in combination with other fungicidally active compounds, the active compounds of the formula I reduce the risk of resistance developing compared to when the active compounds are applied individually.

If the crop plants or the seeds are treated with combination preparations of active compounds of the formula I and other fungicidally active compounds, this application can be carried out simultaneously or successively. If the active compounds of the formula I are applied simultaneously with other fungicides, this is advantageously carried out by preparing an agrochemical mixture of the two active compounds, which mixture is then used for treating the crop plants or the seeds in a customary manner.

If the active compounds are used successively, this is advantageously carried out by applying the individual active compounds either within a short interval or within an interval of a plurality of days or weeks. By this combined application, it is possible to reduce the total frequency of the treatment of the plants or the seeds with fungicides.

For the purpose of the present invention, the term "combination preparations" includes, in principle, all agrochemi-

cal compositions comprising the active compounds of the formula I or II and one or more active compounds, in particular those having fungicidal activity, for example in the form of customary agrochemical mixtures. The term "combination preparations" furthermore also embraces those agrochemical preparations which comprise active compounds of the formula I and furthermore a reference that these active compounds are suitable for combined application with other active compounds in agriculture. Such a reference may be present, for example, in the form of a printed notice on the packaging of the commercial product or on the container holding the active compound of the formula I or the agrochemical composition comprising an active compound of the formula I. Alternatively, it is also possible for other agrochemical products to have corresponding references to the combined application with compounds of the formula I or II. In this context, such products are likewise combination preparations suitable for use in combination with active compounds of the formula I or II.

The following list of fungicides together with which the compounds according to the invention can be used is intended to illustrate the possible combinations, but not to impose any limitation:

sulfur, dithiocarbamates and their derivatives, such as iron(III) dimethyldithiocarbamate, zinc dimethyldithiocarbamate, zinc ethylenebisdithiocarbamate, manganese ethylenebisdithiocarbamate, manganese zinc ethylenediaminebisdithiocarbamate, tetramethylthiuram disulfide, ammonia complex of zinc (N,N'-ethylenebisdithiocarbamate), ammonia complex of zinc (N,N'-propylenebis-dithiocarbamate), zinc (N,N'-propylenebisdithiocarbamate), N,N'-polypropylenebis(thiocarbamoyl)disulfide;

nitro derivatives, such as dinitro-(1-methylheptyl)phenyl crotonate, 2-sec-butyl-4,6-dinitrophenyl 3,3-dimethylacrylate, 2-sec-butyl-4,6-dinitrophenyl-isopropyl carbonate, diisopropyl 5-nitroisophthalate;

heterocyclic substances, such as 2-heptadecyl-2-imidazoline acetate, 2,4-dichloro-6-(o-chloroanilino)-s-triazine, O,O-diethyl phthalimidophosphonothioate, 5-amino-1-[bis(dimethylamino)phosphinyl]-3-phenyl-1,2,4-triazole, 2,3-dicyano-1,4-dithioanthraquinone, 2-thio-1,3-dithiolo[4,5-b]quinoxaline, methyl 1-(butylcarbonyl)-2-benzimidazolecarbamate, 2-methoxycarbonylaminobenzimidazole, 2-(2-furyl)benzimidazole, 2-(4-thiazolyl)benzimidazole, N-(1,1,2,2-tetrachloroethylthio)-tetrahydrophthalimide, N-trichloromethylthiotetrahydrophthalimide, N-trichloromethylthiophthalimide, N-dichlorofluoromethylthio-N',N'-dimethyl-N-phenylsulfodiamide, 5-ethoxy-3-trichloromethyl-1,2,3-thiadiazole, 2-thiocyanatoethylthiobenzothiazole, 1,4-dichloro-2,5-dimethoxybenzene, 4-(2-chlorophenylhydrazono)-3-methyl-5-isoxazolone, pyridine-2-thione 1-oxide, 8-hydroxyquinoline or its copper salt, 2,3-dihydro-5-carboxanilido-6-methyl-1,4-oxathiine, 2,3-dihydro-5-carboxanilido-6-methyl-1,4-oxathiine 4,4-dioxide, 2-methyl-5,6-dihydro-4H-pyran-3-carboxanilide, 2-methylfuran-3-carboxanilide, 2,5-dimethylfuran-3-carboxanilide, 2,4,5-trimethyl-furan-3-carboxanilide, N-cyclohexyl-2,5-dimethylfuran-3-carboxamide, N-cyclohexyl-N-methoxy-2,5-dimethylfuran-3-carboxamide, 2-methylbenzanilide, 2-iodobenzanilide, N-formyl-N-morpholine-2,2,2-trichloroethyl acetal, piperazine-1,4-diylbis-1-(2,2,2-trichloroethyl)formamide, 1-(3,4-dichloroanilino)-1-formylamino-2,2,2-trichlorethane,

41

2,6-dimethyl-N-tridecylmorpholine or its salts, 2,6-dimethyl-N-cyclododecylmorpholine or its salts, N-[3-(p-tert-butylphenyl)-2-methylpropyl]-cis-2,6-dimethylmorpholine, N-[3-(p-tert-butylphenyl)-2-methylpropyl]piperidine, 1-[2-(2,4-dichlorophenyl)-4-ethyl-1,3-dioxolan-2-ylethyl]-1H-1,2,4-triazole, 1-[2-(2,4-dichlorophenyl)-4-n-propyl-1,3-dioxolan-2-ylethyl]-1H-1,2,4-triazole, N-(n-propyl)-N-(2,4,6-trichlorophenoxyethyl)-N'-imidazolylurea, 1-(4-chlorophenoxy)-3,3-dimethyl-1-(1H-1,2,4-triazol-1-yl)-2-butanone, (2-chlorophenyl)-(4-chlorophenyl)-5-pyrimidinemethanol, 5-butyl-2-dimethylamino-4-hydroxy-6-methylpyrimidine, bis(p-chlorophenyl)-3-pyridinemethanol, 1,2-bis(3-ethoxycarbonyl-2-thioureido)benzene, 1,2-bis(3-methoxycarbonyl-2-thio-ureido)benzene, [2-(4-chlorophenyl)ethyl]-(1,1-dimethylethyl)-1H-1,2,4-triazole-1-ethanol, 1-[3-(2-chlorophenyl)-1-(4-fluoro-phenyl)oxiran-2-ylmethyl]-1H-1,2,4-triazole, and

A variety of fungicides such as dodecylguanidine acetate, 3-[3-(3,5-dimethyl-2-oxocyclohexyl)-2-hydroxyethyl] glutarimide, hexachlorobenzene, methyl N-(2,6-dimethylphenyl)-N-(2-furoyl)-DL-alaninate, DL-N-(2,6-dimethylphenyl)-N-(2'-methoxyacetyl)-alanine methyl ester, N-(2,6-dimethylphenyl)-N-chloroacetyl-D,L-2-amino-butylolactone, DL-N-(2,6-dimethylphenyl)-N-(phenylacetyl)alanine methyl ester, 5-methyl-5-vinyl-3-(3,5-dichlorophenyl)-2,4-dioxo-1,3-oxazolidine, 3-[(3,5-dichlorophenyl)-5-methyl-5-methoxymethyl-1,3-oxazolidine-2,4-dione, 3-(3,5-dichlorophenyl)-1-isopropyl-carbamoylhydantoin, N-(3,5-dichlorophenyl)-1,2-dimethylcyclo-propane-1,2-dicarboximide, 2-cyano-[N-(ethylaminocarbonyl)-2-methoximino]acetamide, 1-[2-(2,4-dichlorophenyl)pentyl]-1H-1,2,4-triazole, 2,4-difluoro- $\alpha$ -(1H-1,2,4-triazolyl-1-methyl)benzhydryl alcohol, N-(3-chloro-2,6-dinitro-4-trifluoromethylphenyl)-5-trifluoromethyl-3-chloro-2-aminopyridine, 1-((bis(4-fluorophenyl)methylsilyl)methyl)-1H-1,2,4-triazole,

strobilurins such as methyl E-methoximino-[ $\alpha$ -(o-tolyloxy)-o-tolyl]acetate, methyl E-2-[2-[6-(2-cyanophenoxy)pyridin-4-yloxy]phenyl]-3-methoxyacrylate, methyl E-methoximino-[ $\alpha$ -(2,5-dimethyloxy)-o-tolyl]acetamide,

anilinoimidazoles such as N-(4,6-dimethylpyrimidin-2-yl)aniline, N-[4-methyl-6-(1-propynyl)pyrimidin-2-yl]aniline, N-(4-methyl-6-cyclopropylpyrimidin-2-yl)aniline,

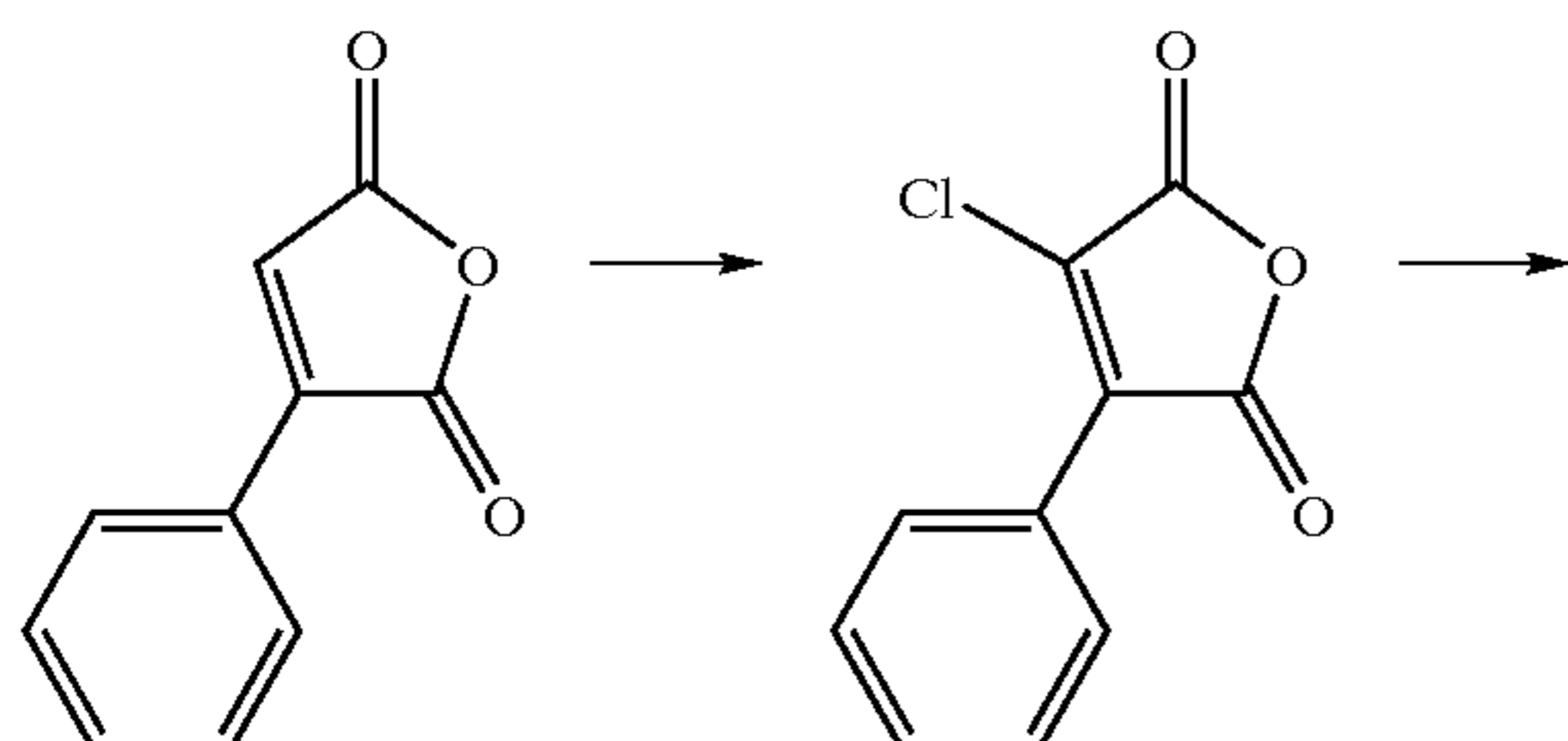
phenylpyrroles such as 4-(2,2-difluoro-1,3-benzodioxol-4-yl)-pyrrole-3-carbonitrile,

cinnamamides such as 3-(4-chlorophenyl)-3-(3,4-dimethoxyphenyl)acryloylmorpholine.

The invention is illustrated in more detail using the working examples below:

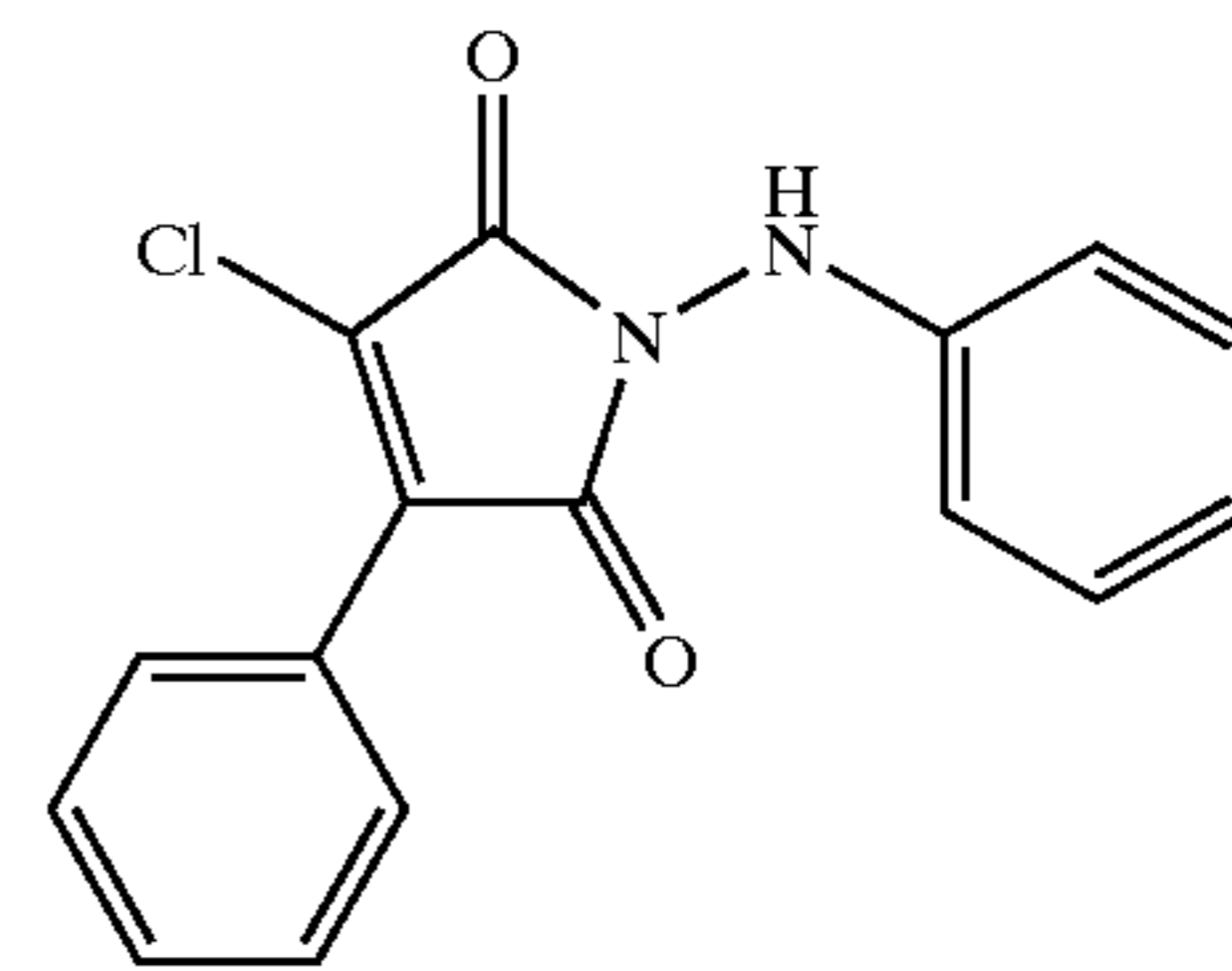
## EXAMPLE 1

1-Anilino-3-chloro-4-phenylpyrrol-2,5-dione  
(Table 1, No. 1)



42

-continued



## a) 3-Chloro-4-phenylfuran-2,5-dione

With ice-cooling, 10.0 g (57 mmol) of phenylmaleic anhydride were added to 57 ml of thionyl chloride, and the mixture was, over a period of 10 min, admixed dropwise with 9.08 g (115 mmol) of pyridine, the temperature being maintained at 10–12° C. The mixture was stirred at 10–12° C. for 30 min, heated at 75° C. for 10 min. using a preheated heating bath and allowed to cool, and excess thionylchloride was stripped off at 60° C. at reduced pressure. The residue was then boiled with 120 ml of toluene and filtered, and the residue was washed with 50 ml of hot toluene. The filtrate was concentrated under reduced pressure, titrated with petroleum ether and dried. Yield: 8.5 g, m.p. 82–83° C.

## b) 1-Anilino-3-chloro-4-phenylpyrrol-2,5-dione

4.14 g (20 mmol) of 3-chloro-4-phenylfuran-2,5-dione were initially charged in 50 ml of chloroform and, at room temperature, admixed dropwise with stirring with 2.16 g (20 mmol) of phenyl hydrazine, and the mixture was stirred at room temperature overnight. The mixture was filtered, washed three times with sodium bicarbonate solution and once with water, dried over sodium sulfate and concentrated under reduced pressure. Yield: 5.4 g of a crystalline solid, m.p. 144–146° C.

## EXAMPLE 2

1-Anilino-3-methylthio-4-phenylpyrrol-2,5-dione  
(Table 1, No. 1041)

At room temperature, 22.3 g (75 mmol) of 1-anilino-3-chloro-4-phenylpyrrol-2,5-dione, dissolved in 220 ml of dimethylformamide, were admixed with stirring with 5.78 g (82 mmol) of sodium methylthiolate, and the mixture was stirred at room temperature overnight. The mixture was poured into water and extracted with methyl tert-butyl ether, and the extract was washed repeatedly with water, dried over sodium sulfate and concentrated under reduced pressure. For purification, the residue was titrated with cyclohexane. Yield: 19.4 g of a solid of m.p. 86–88° C.

## EXAMPLE 3

3-Chloro-1-((4-fluorophenyl)amino)-4-phenylpyrrol-2,5-dione  
(Table 1, No. 27)

3.13 g (15 mmol) of 3-chloro-4-phenylfuran-2,5-dione and 2.44 g (15 mmol) of 4-fluorophenylhydrazine hydrochloride were initially charged in 50 ml of methylene chloride, and the mixture was, at room temperature, admixed dropwise with stirring with 1.52 g (15 mmol) of triethylamine and stirred at room temperature overnight. A further 50 ml of methylene chloride were added, and the mixture was washed three times with in each case 80 ml of water, dried over sodium sulfate and concentrated under reduced pressure. Yield: 3.9 g of a crystalline solid, m.p. 115–117° C.

## EXAMPLE 4

3-Chloro-4-(4-chlorophenyl)-1-(N-methyl-N-phenylamino)-pyrrol-2,5-dione (Table 1, No. 23)

## a) Potassium 3-(4-chlorophenyl)-3-cyanoacrylate

133 g (0.9 mol) of 50% strength aqueous glyoxylic acid was added dropwise with stirring to 91.2 g (0.6 mol) of (4-chlorophenyl)acetonitrile and 210 g (1.5 mol) of potassium carbonate in 1.2 l of methanol such that the reaction temperature increased to 35° C. The mixture was stirred at room temperature for 6 h and then filtered, and the residue was washed with methylene chloride and dried under reduced pressure. At room temperature, the crude product was stirred with 3 l of water for 1.5 h, and the residue was filtered off, washed with water and dried. Yield: 138 g of a solid of m.p. 241–242° C.

## b) 3-(4-Chlorophenyl)furan-2,5-dione

With stirring, 80 ml of concentrated sulfuric acid were added dropwise to 138 g (0.57 mol) potassium 3-(4-chlorophenyl)-3-cyanoacrylate in 1.2 l of 88% strength formic acid. During the addition, the temperature increased to 50° C. The mixture was refluxed for 3 h and, after cooling, put into 10 l of ice-water and then stirred for 1 h, and the product was filtered off, washed with water and dried under reduced pressure. Yield: 86.0 g of m.p. 144–145° C.

## c) 3-Chloro-4-(4-chlorophenyl)furan-2,5-dione

With ice-cooling, 15.0 g (72 mmol) of 3-(4-chlorophenyl)furan-2,5-dione were added to 72 ml of thionyl chloride, and the mixture was admixed dropwise over a period 10 min with 11.5 g (145 mmol) of pyridine, the temperature being maintained at 10–12° C. The mixture was stirred at 10–12° C. for 30 min, heated at 75° C. for 10 min using a preheated heating bath and allowed to cool, and excess thionyl chloride was removed at 60° C. under reduced pressure. The residue was boiled with 200 ml of toluene and filtered, and the residue was again boiled with 100 ml of toluene and filtered whilst still hot. The filtrate was concentrated under reduced pressure and the residue was boiled with 100 ml of petroleum ether, cooled, filtered off, washed once more with petroleum ether and dried under reduced pressure. Yield: 16.2 g, m.p. 110–112° C.

## d) 3-Chloro-4-(4-chlorophenyl)-1-(N-methyl-N-phenylamino)pyrrol-2,5-dione

3.65 g (15 mmol) of 3-chloro-4-(4-chlorophenyl)furan-2,5-dione were initially charged in 75 ml of chloroform and, at room temperature, admixed dropwise with stirring with 1.83 g (15 mmol) of N-methyl-N-phenylhydrazine dissolved in 15 ml of chloroform, and the mixture was stirred at room temperature overnight. The mixture was then concentrated under reduced pressure and the residue was taken up in 200 ml of methylene chloride, washed three times with in each case 150 ml of water, dried over sodium sulfate and concentrated under reduced pressure. Yield: 4.6 g of a crystalline solid, m.p. 155–157° C.

## EXAMPLE 5

1-Anilino-3-methylsulfinyl-4-phenylpyrrol-2,5-dione (Table 1, No. 1042) and 1-anilino-3-methylsulfonyl-4-phenylpyrrol-2,5-dione (Table 1, No. 1043)

At 40° C., 2.0 g (6.5 mmol) of 1-anilino-3-methylthio-4-phenylpyrrol-2,5-dione and 69 mg (0.2 mol) of sodium tungstate dihydrate in 20 ml of acetic acid were admixed dropwise with stirring with 1.5 g (13 mmol) of 30% strength hydrogen peroxide, and the mixture was kept at this temperature for 3 h. Another 0.3 g (2.6 mmol) of 30% strength hydrogen peroxide was then added, and the mixture was

stirred at 40° C. for 4 h and then at room temperature overnight. The mixture was poured into 80 ml of water and the crude product was filtered off, washed with a little water and dried under reduced pressure. Silica gel chromatography using ethyl acetate/cyclohexane gave 0.45 g of 1-anilino-3-methylsulfinyl-4-phenylpyrrol-2,5-dione of m.p. 178–180° C. and 0.65 g of 1-anilino-3-methylsulfonyl-4-phenylpyrrol-2,5-dione of m.p. 194–196° C.

## EXAMPLE 6

1-Anilino-3-bromo-4-phenylpyrrol-2,5-dione (Table 1, No. 486)

## a) 3-Bromo-4-phenylfuran-2,5-dione

At 10° C., first 40.2 g (193 mmol) of thionyl bromide and then 15.3 g (193 mmol) of pyridine were added dropwise with stirring to 16.8 g (97 mmol) of phenylmaleic anhydride in 200 ml of toluene. The mixture was stirred at 10° C. for 30 min, heated at 75° C. for 30 min using a preheated heating bath and allowed to cool, and excess thionyl bromide was removed at 65° C. under reduced pressure. The mixture was then stirred with 150 ml of toluene and filtered, and the residue was washed twice with in each case 200 ml of toluene, and the filtrate was concentrated under reduced pressure. The crude product (9.3 g) still contained 25% of unreacted starting material and was used without further purification.

## b) 1-Anilino-3-bromo-4-phenylpyrrol-2,5-dione

4.3 g (17 mmol) of 3-bromo-4-phenylfuran-2,5-dione were initially charged in 40 ml of chloroform and, at room temperature, admixed dropwise with stirring with 1.84 g (17 mmol) of phenylhydrazine in 15 ml of chloroform, and the mixture was stirred at room temperature overnight. The mixture was filtered, the filtrate was concentrated under reduced pressure and the residue was purified by silica gel chromatography using ethyl acetate/cyclohexane. Yield: 1.9 g, m.p. 146–147° C.

## EXAMPLE 7

Activity Against *Phytophthora infestans* on Tomatoes

Leaves of potted plants cv. "Große Fleischtomate" were sprayed to run off point with an aqueous suspension made up of a stock solution of 10% of active compound, 63% of cyclohexanone and 27% of emulsifier. The next day, the leaves were infected with an aqueous zoospore suspension of *Phytophthora infestans*. The plants were then kept in a chamber saturated with water vapor at 16–18° C. After 6 days, the tomato blight on the untreated but infected control plants had developed to such an extent that the infection could be determined visually in percent.

55

Active compound	% infection of the leaves after application of 250 ppm-containing aqueous preparation of active compound
Compound (I)	90
Untreated	

60

It is evident from the tests that, compared to the untreated plants, the treated plants show considerably less damage caused by harmful fungi. Accordingly, the active compounds according to the invention have good fungicidal activity. In particular, they have a protective effect against harmful fungi.

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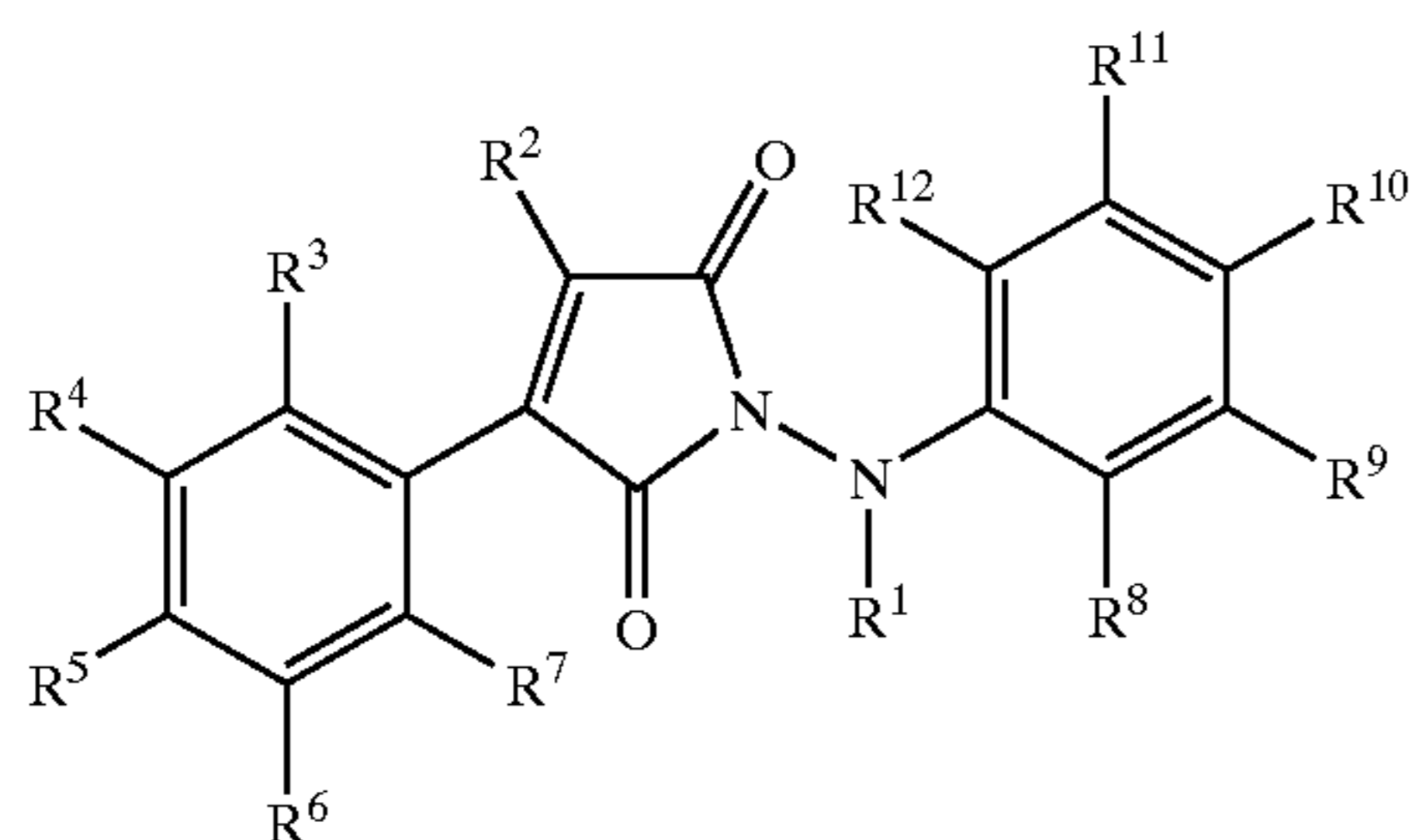
Activity Against *Plasmopara viticola*

Leaves of potted vines cv. "Müller-Thurgau" were sprayed to run off point with an aqueous preparation of active compound prepared from a stock solution of 10% of active compound, 63% of cyclohexanone and 27% of emulsifier. To be able to assess the long-term activity of the substances, the plants were kept in a greenhouse for 7 days after the spray coating had dried on. Only then were the leaves inoculated with an aqueous zoospore suspension of *Plasmopara viticola*. The plants were then first kept in a chamber saturated with water vapor at 24° C. for 48 hours, and subsequently in a greenhouse at 20–30° C. for 5 days. After this time, the plants were once more placed in a humid chamber for 16 hours to promote the eruption of sporangio-phores. The extent of the development of the infection on the underside of the leaves was then determined visually.

Active compound	% infection of the leaves after application of 250 ppm-containing aqueous preparation of active compound
Compound (I)	85
Untreated	

We claim:

1. An agrochemical composition having fungicidal action, comprising compounds of the formula I



where:

R<sup>1</sup> is hydrogen, C<sub>1</sub>–C<sub>6</sub>-alkyl, C<sub>1</sub>–C<sub>6</sub>-alkylcarbonyl, formyl or C<sub>1</sub>–C<sub>6</sub>-haloalkylcarbonyl;

R<sup>2</sup> is halogen, C<sub>1</sub>–C<sub>6</sub>-alkylthio, C<sub>1</sub>–C<sub>6</sub>-alkoxy, C<sub>3</sub>–C<sub>6</sub>-cycloalkyl-C<sub>1</sub>–C<sub>6</sub>-alkoxy, C<sub>1</sub>–C<sub>6</sub>-alkoxy-C<sub>1</sub>–C<sub>6</sub>-alkyl, halo-C<sub>1</sub>–C<sub>6</sub>-alkoxy, C<sub>1</sub>–C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>–C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>–C<sub>6</sub>-alkylsulfonyl, cyano or a radical NR<sup>13</sup>R<sup>14</sup>;

R<sup>3</sup>–R<sup>12</sup> are hydrogen, halogen, C<sub>1</sub>–C<sub>8</sub>-cycloalkyl, C<sub>1</sub>–C<sub>6</sub>-alkyl, halo-C<sub>1</sub>–C<sub>6</sub>-alkyl, C<sub>1</sub>–C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>–C<sub>6</sub>-alkoxy, C<sub>1</sub>–C<sub>6</sub>-alkylsulfonyl, halo-C<sub>1</sub>–C<sub>6</sub>-alkylsulfonyl, formyl, C<sub>1</sub>–C<sub>6</sub>-alkylcarbonyl, cyano, C<sub>1</sub>–C<sub>6</sub>-alkylthio or phenyl, which may be unsubstituted or substituted by halogen, C<sub>1</sub>–C<sub>6</sub>-alkyl or halo-C<sub>1</sub>–C<sub>6</sub>-alkyl,

R<sup>13</sup> is hydrogen, C<sub>1</sub>–C<sub>6</sub>-alkyl,

R<sup>14</sup> is C<sub>1</sub>–C<sub>6</sub>-alkyl, C<sub>1</sub>–C<sub>8</sub>-cycloalkyl or, together with R<sup>13</sup> and the nitrogen atom to which they are attached, a saturated or unsaturated heterocyclic five- or six-

membered ring which contains one or two heteroatoms selected from the group consisting of nitrogen and oxygen,

or agriculturally useful salts thereof.

2. A composition as claimed in claim 1, wherein R<sup>1</sup> is hydrogen, C<sub>1</sub>–C<sub>6</sub>-alkyl, C<sub>1</sub>–C<sub>6</sub>-alkylcarbonyl or formyl.

3. A composition as claimed in claim 2, wherein R<sup>1</sup> is hydrogen, methyl, formyl or acetyl.

4. A composition as claimed in claim 1, wherein R<sup>2</sup> is halogen, C<sub>1</sub>–C<sub>6</sub>-alkylthio, C<sub>1</sub>–C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>–C<sub>6</sub>-alkylsulfinyl or halo-C<sub>1</sub>–C<sub>6</sub>-alkoxy.

5. A composition as claimed in claim 4, wherein R<sup>2</sup> is chlorine, bromine, methylthio, methylsulfonyl, methylsulfinyl or difluoromethoxy.

6. A composition as claimed in claim 1, wherein one or more of the radicals R<sup>3</sup>–R<sup>12</sup> have the following meanings: fluorine, chlorine, methyl, ethyl, propyl, butyl, trifluoromethyl, difluoromethyl, trifluoromethoxy, difluoromethoxy, methoxy, methylthio, cyano, and at least three of the radicals R<sup>3</sup>–R<sup>12</sup> are hydrogen.

7. A composition as claimed in claim 6, wherein four to nine of the radicals R<sup>3</sup>–R<sup>12</sup> are hydrogen.

8. A composition as claimed in claim 1, wherein two to five of the radicals R<sup>8</sup>–R<sup>12</sup> are hydrogen.

9. A composition as claimed in claim 8, wherein three or four of the radicals R<sup>8</sup>–R<sup>12</sup> are hydrogen.

10. A composition as claimed in claim 1, wherein two to five of the radicals R<sup>3</sup>–R<sup>7</sup> are hydrogen.

11. A composition as claimed in claim 10, wherein three or four of the radicals R<sup>3</sup>–R<sup>7</sup> are hydrogen.

12. A composition as claimed in claim 1, wherein at least two of the radicals R<sup>8</sup>–R<sup>12</sup> and at least two of the radicals R<sup>3</sup>–R<sup>7</sup> are hydrogen.

13. A composition as claimed in claim 1, wherein one, two or three of the radicals R<sup>3</sup>–R<sup>12</sup> are halogen, C<sub>1</sub>–C<sub>6</sub>-alkyl, C<sub>1</sub>–C<sub>6</sub>-haloalkyl, C<sub>1</sub>–C<sub>6</sub>-alkoxy or C<sub>1</sub>–C<sub>6</sub>-haloalkoxy and the other radicals R<sup>3</sup>–R<sup>12</sup> are hydrogen.

14. A composition as claimed in claim 13, wherein the radicals R<sup>3</sup>–R<sup>12</sup> are selected from the group consisting of fluorine, chlorine, bromine, iodine, methyl, ethyl, propyl, butyl, trifluoromethyl, trifluoromethoxy and difluoromethoxy.

15. A composition as claimed in claim 1, comprising a compound of formula (I) which is selected from the group consisting of:

1-anilino-3-chloro-4-phenylpyrrol-2,5-dione,

1-anilino-3-methylthio-4-phenylpyrrol-2,5-dione,

3-chloro-4-(4-chlorophenyl)-1-(N-methyl-N-phenylamino)-pyrrol-2,5-dione,

1-anilino-3-methylsulfinyl-4-phenylpyrrol-2,5-dione,

1-anilino-3-methylsulfonyl-4-phenylpyrrol-2,5-dione, and

1-anilino-3-bromo-4-phenylpyrrol-2,5-dione.

16. A method for controlling harmful fungi, wherein the harmful fungi, their habitat or the plants, areas, materials or spaces to be kept free from them are treated with a fungicidally effective amount of the composition defined in claim 1.

\* \* \* \* \*



UNITED STATES PATENT AND TRADEMARK OFFICE  
**CERTIFICATE OF CORRECTION**

PATENT NO. : 6,586,369 B1  
DATED : July 1, 2003  
INVENTOR(S) : Rheinheimer et al.

Page 1 of 1

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

Column 45,

Lines 51 and 55, "alkylsul-fonyl" should be -- alkylsulfonyl --.

Column 46,

Line 8, "formyllor" should be -- formyl or --.

Signed and Sealed this

Twenty-third Day of September, 2003

A handwritten signature in black ink, appearing to read "James E. Rogan", with a horizontal line drawn underneath it.

JAMES E. ROGAN  
*Director of the United States Patent and Trademark Office*